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=> fil reg; d stat que l9; fil capl; d que nos l10; fil uspatf; d que nos l15
FILE 'REGISTRY' ENTERED AT 11:06:23 ON 20 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   19 MAR 2003  HIGHEST RN 500101-42-8
DICTIONARY FILE UPDATES:  19 MAR 2003  HIGHEST RN 500101-42-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

L1                STR
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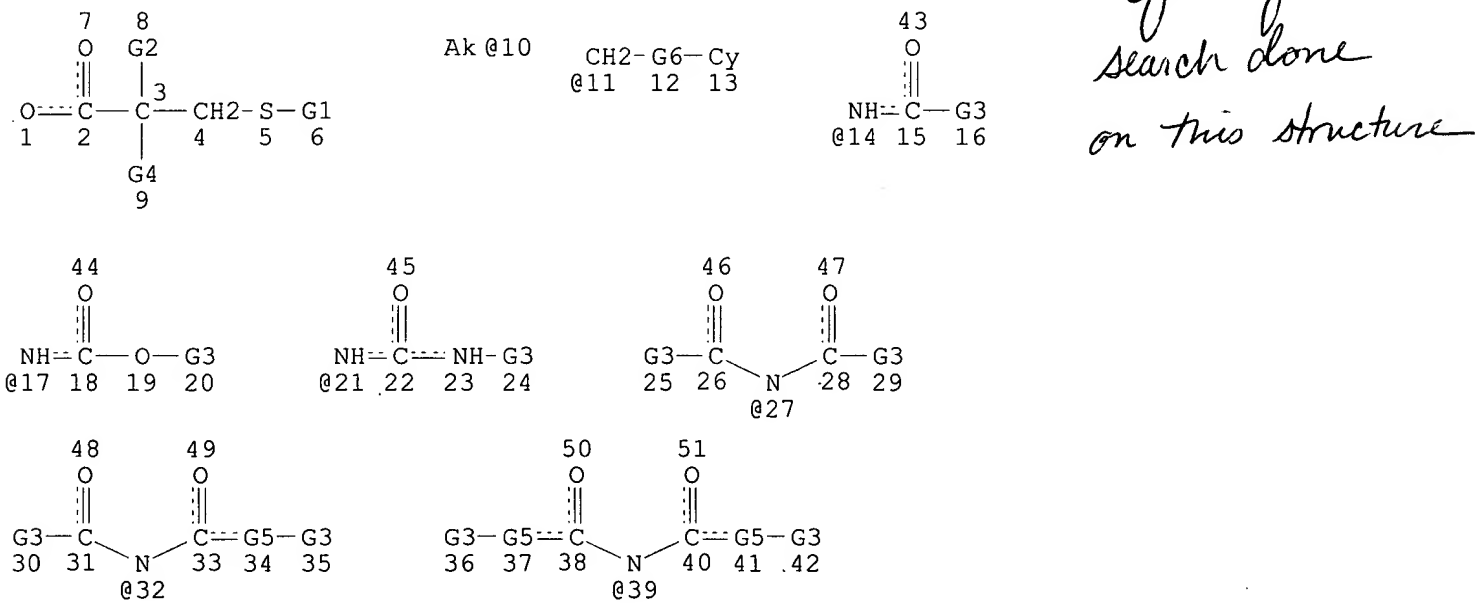

full file search done on this structure

```
VAR G1=10/CY/11
VAR G2=C/CY/S/O/N
VAR G3=H/CB/C
VAR G4=OH/F/NH2/14/17/21/27/32/39
VAR G5=O/NH
REP G6=(0-5) CH2
NODE ATTRIBUTES:
CONNECT IS E1  RC AT  10
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  51
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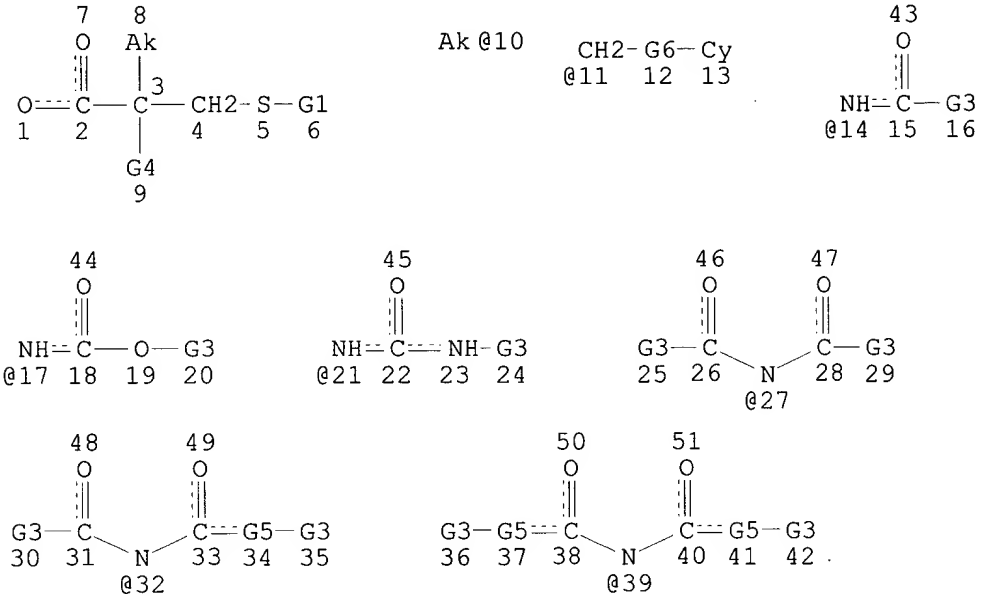
STEREO ATTRIBUTES: NONE
L2 380 SEA FILE=REGISTRY SSS FUL L1
L3 STR

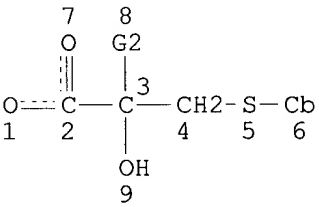


The following 4 structures "NOT"-ed out of answer set to meet provisos

VAR G1=10/CY/11
VAR G3=H/CB/C
VAR G4=NH2/14/17/21/27/32/39
VAR G5=O/NH
REP G6=(0-5) CH2
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 8
CONNECT IS E1 RC AT 10
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 51

STEREO ATTRIBUTES: NONE
L4 STR

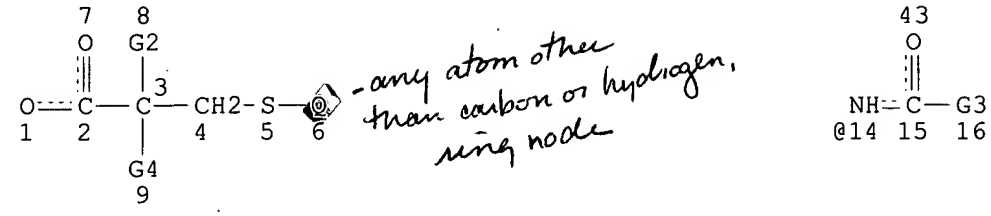


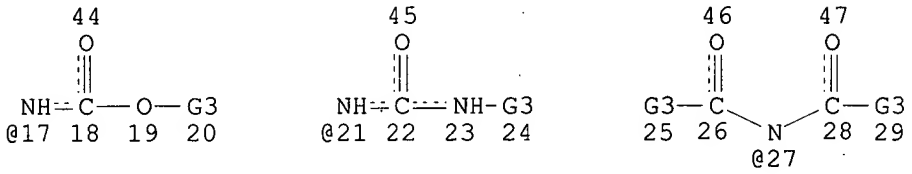
VAR G2=ME/ET
NODE ATTRIBUTES:
CONNECT IS M2 RC AT 6 – carbocycle at node 6 has at least 1 substituent
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 6
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E6 C AT 6

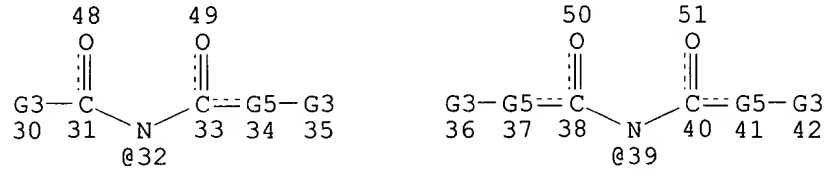
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE
L5 STR



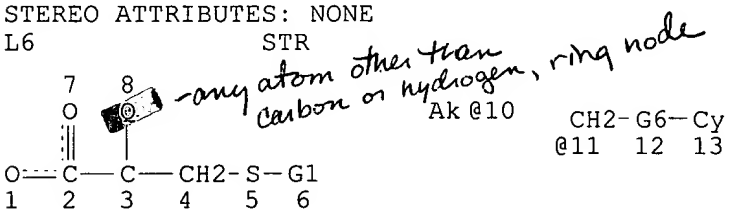




VAR G2=C/CY/S/O/N
VAR G3=H/CB/C
VAR G4=OH/F/NH2/14/17/21/27/32/39
VAR G5=O/NH
NODE ATTRIBUTES:
NSPEC IS R AT 6
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 47

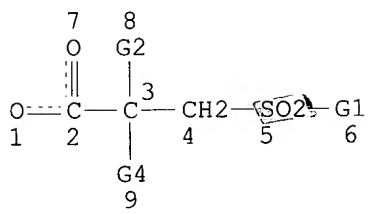
STEREO ATTRIBUTES: NONE
L6 STR

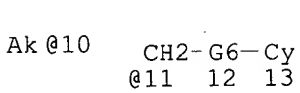


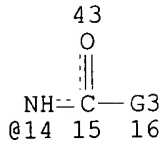
VAR G1=10/CY/11
REP G6=(0-5) CH2
NODE ATTRIBUTES:
NSPEC IS R AT 8
CONNECT IS E1 RC AT 10
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

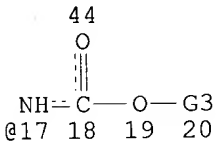
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 12

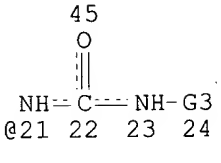
STEREO ATTRIBUTES: NONE
L7 STR

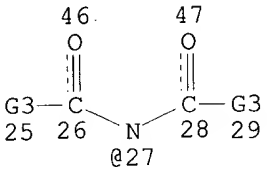


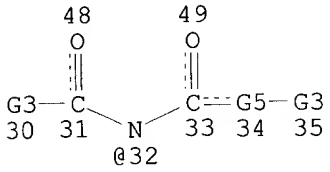


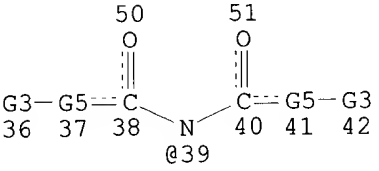












VAR G1=10/CY/11
VAR G2=C/CY/S/O/N
VAR G3=H/CB/C
VAR G4=OH/F/NH2/14/17/21/27/32/39
VAR G5=O/NH
REP G6=(0-5) CH2
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 10
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 51

STEREO ATTRIBUTES: NONE
L9 106 SEA FILE=REGISTRY SUB=L2 SSS FUL (L7 NOT ((L3 OR L4 OR L5 OR L6)))

100.0% PROCESSED 141 ITERATIONS 106 ANSWERS
SEARCH TIME: 00.00.01

subset search done on this structure

FILE 'CAPLUS' ENTERED AT 11:06:24 ON 20 MAR 2003

FILE COVERS 1907 - 20 Mar 2003 VOL 138 ISS 12
FILE LAST UPDATED: 19 Mar 2003 (20030319/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

L1 STR
L2 380 SEA FILE=REGISTRY SSS FUL L1
L3 STR
L4 STR
L5 STR
L6 STR
L7 STR
L9 106 SEA FILE=REGISTRY SUB=L2 SSS FUL (L7 NOT ((L3 OR L4 OR L5 OR L6)))
L10 6 SEA FILE=CAPLUS ABB=ON L9

FILE 'USPATFULL' ENTERED AT 11:06:24 ON 20 MAR 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 18 Mar 2003 (20030318/PD)
FILE LAST UPDATED: 18 Mar 2003 (20030318/ED)
HIGHEST GRANTED PATENT NUMBER: US6536043
HIGHEST APPLICATION PUBLICATION NUMBER: US2003051284
CA INDEXING IS CURRENT THROUGH 18 Mar 2003 (20030318/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 18 Mar 2003 (20030318/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2002
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2002

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

L1 STR
L2 380 SEA FILE=REGISTRY SSS FUL L1
L3 STR
L4 STR
L5 STR

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L6              STR
L7              STR
L9          106 SEA FILE=REGISTRY SUB=L2 SSS FUL (L7 NOT ((L3 OR L4 OR L5 OR
                L6)))
L15           1 SEA FILE=USPATFULL ABB=ON  L9


=> dup rem l10,l15
FILE 'CAPLUS' ENTERED AT 11:06:30 ON 20 MAR 2003
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FILE 'USPATFULL' ENTERED AT 11:06:30 ON 20 MAR 2003
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PROCESSING COMPLETED FOR L10
PROCESSING COMPLETED FOR L15
L17             7 DUP REM L10 L15 (0 DUPLICATES REMOVED)
                ANSWERS '1-6' FROM FILE CAPLUS
                ANSWER '7' FROM FILE USPATFULL

=> d ibib abs hitstr 1-7; fil cao; d que nos l16

L17  ANSWER 1 OF 7  CAPLUS  COPYRIGHT 2003 ACS
ACCESSION NUMBER:         2002:72030  CAPLUS
DOCUMENT NUMBER:          136:134761
TITLE:                    Preparation of 3-arylsulfonyl-2-hydroxy-2-
                          methylpropanoic acids as inhibitors of matrix
                          metallo-proteinases (MMPs)
INVENTOR(S):              Mantegani, Sergio; Bissolino, Pierluigi; Abrate,
                          Francesca; Cremonesi, Paolo; Perrone, Ettore
PATENT ASSIGNEE(S):       Pharmacia & Upjohn S.p.A., Italy
SOURCE:                   PCT Int. Appl., 50 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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     WO 2002006215      A1   20020124      WO 2001-EP7736   20010705
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                    GB 2000-17435    A  20000714
OTHER SOURCE(S):          MARPAT 136:134761
GI
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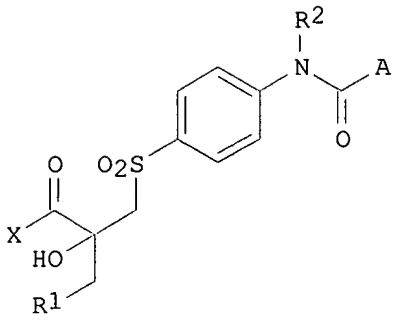

I

AB The title compds. [I; X = NHOH, OH; R1 = OPh, SPh, SHet, Hyd, CH2Hyd; Het = heterocyclic ring; Hyd = substituted hydantoin-3-yl ring; A = Ph, Het, condensed Ph ring; R2 = H, Me; or R2 represents a methylene bridge connecting the N atom to the ortho position of said A to form a 5-membered lactam] or their salts which are inhibitors of matrix metallo-proteinases (MMPs) and are therefore useful in the prevention, control and treatment of diseases in which MMPs are involved, were prepd. E.g., a multi-step synthesis of I [A = 4-ClC6H4; X = OH; R1 = (3,4,4-trimethylhydantoin-1-yl)CH2; R2 = H] which showed Ki of 14.7 nM against MMP-2, was given.

IT **391903-52-9P 391903-53-0P 391903-54-1P**
391903-55-2P 391903-56-3P 391903-57-4P
391903-58-5P 391903-59-6P 391903-60-9P
391903-61-0P 391903-62-1P 391903-63-2P
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391903-67-6P 391903-68-7P 391903-69-8P
391903-70-1P 391903-71-2P 391903-72-3P
391903-73-4P 391903-74-5P 391903-76-7P
391903-77-8P 391903-78-9P 391903-79-0P
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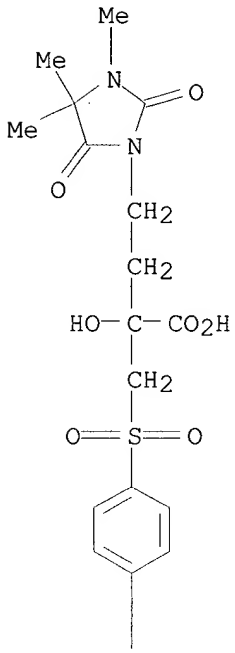
391904-16-8P 391904-18-0P 391904-19-1P
391904-20-4P 391904-21-5P 391904-22-6P
391904-23-7P 391904-24-8P 391904-25-9P
391904-26-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-arylsulfonyl-2-hydroxy-2-methylpropanoic acids as inhibitors of matrix metallo-proteinases (MMPs))

RN 391903-52-9 CAPLUS

CN 1-Imidazolidinebutanoic acid, .alpha.-[[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]methyl]-.alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



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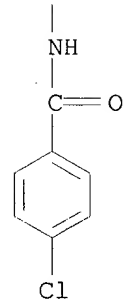
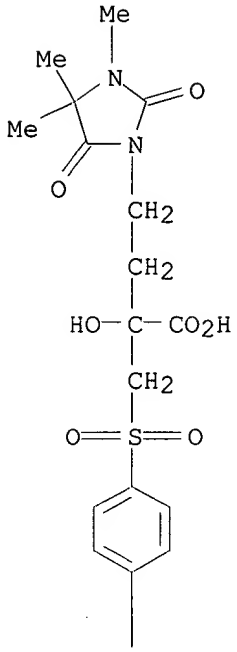


RN 391903-53-0 CAPLUS
CN 1-Imidazolidinebutanoic acid, .alpha.-[[[4-[(4-fluorobenzoyl)amino]phenyl]sulfonyl]methyl]-.alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



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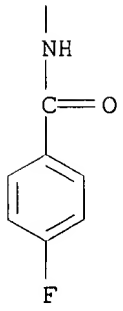
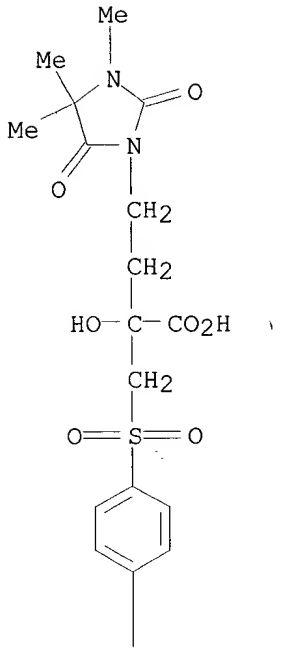


RN 391903-54-1 CAPLUS
CN 1-Imidazolidinebutanoic acid, .alpha.-hydroxy-.alpha.-[[[4-[(4-methoxybenzoyl)amino]phenyl]sulfonyl]methyl]-3,4,4-trimethyl-2,5-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



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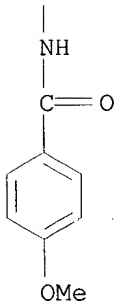
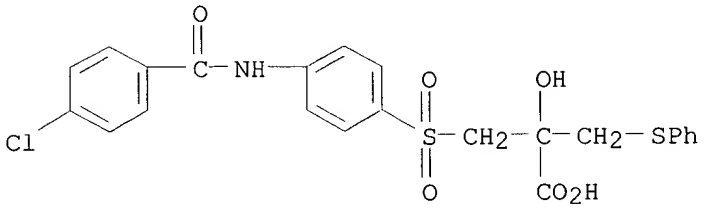
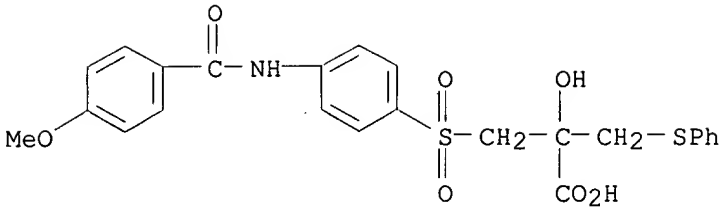


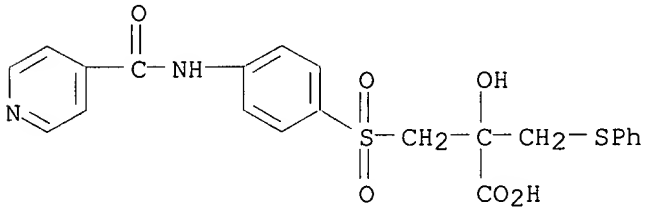
RN 391903-55-2 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]- (9CI) (CA INDEX NAME)



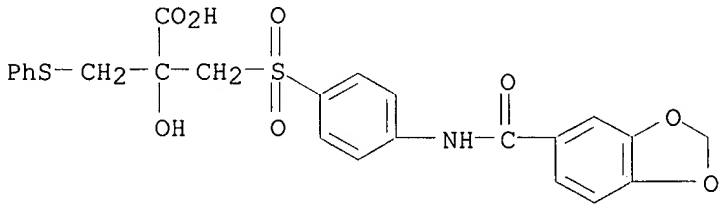
RN 391903-56-3 CAPLUS
CN Propanoic acid, 2-hydroxy-2-[[[4-[(4-methoxybenzoyl)amino]phenyl]sulfonyl]methyl]-3-(phenylthio)- (9CI) (CA INDEX NAME)



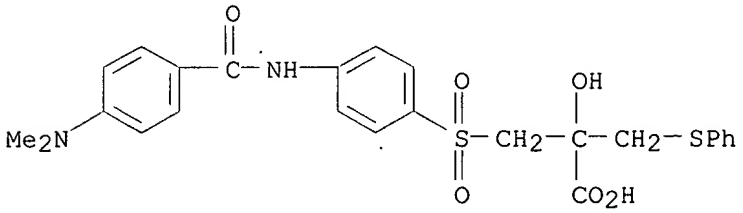
RN 391903-57-4 CAPLUS
CN Propanoic acid, 2-hydroxy-2-[(phenylthio)methyl]-3-[[4-[(4-pyridinylcarbonyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 391903-58-5 CAPLUS
CN Propanoic acid, 3-[[4-[(1,3-benzodioxol-5-ylcarbonyl)amino]phenyl]sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]- (9CI) (CA INDEX NAME)

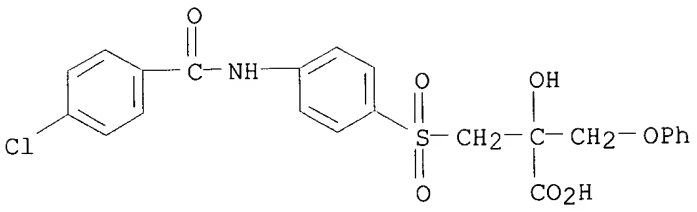


RN 391903-59-6 CAPLUS
CN Propanoic acid, 3-[[4-[[4-(dimethylamino)benzoyl]amino]phenyl]sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]-, monosodium salt (9CI) (CA INDEX NAME)

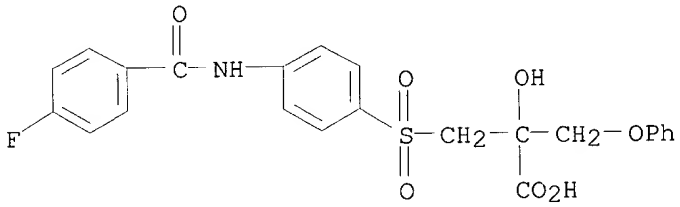


Na

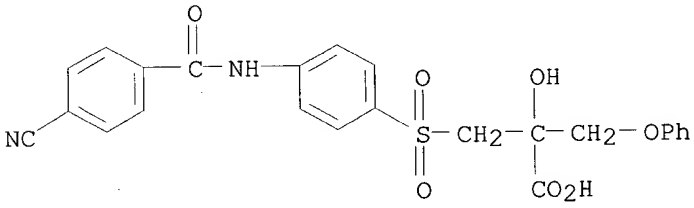
RN 391903-60-9 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)- (9CI) (CA INDEX NAME)



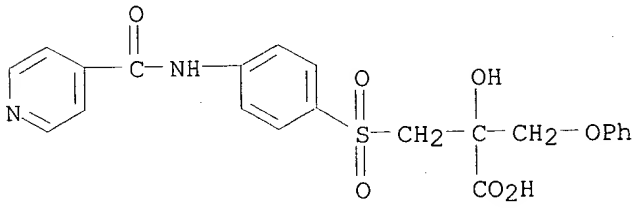
RN 391903-61-0 CAPLUS
CN Propanoic acid, 3-[[4-[(4-fluorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)- (9CI) (CA INDEX NAME)



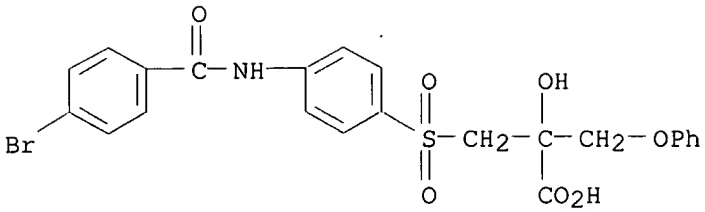
RN 391903-62-1 CAPLUS
CN Propanoic acid, 3-[[4-[(4-cyanobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)- (9CI) (CA INDEX NAME)



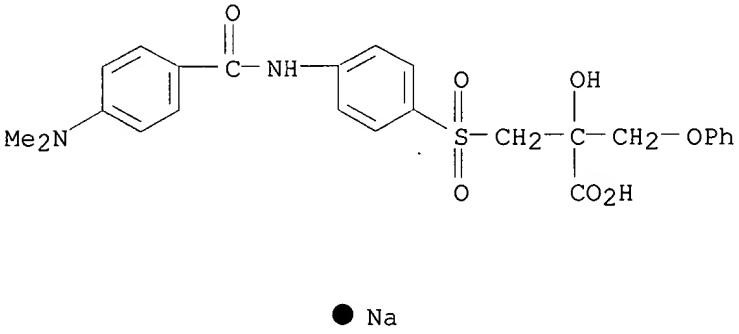
RN 391903-63-2 CAPLUS
CN Propanoic acid, 2-hydroxy-2-(phenoxymethyl)-3-[[4-[(4-pyridinylcarbonyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



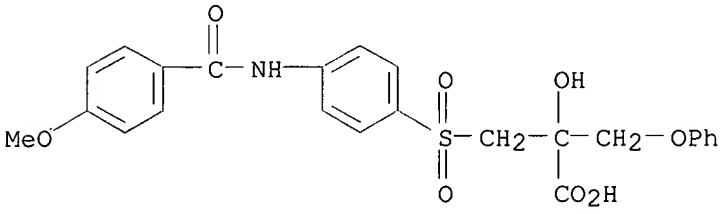
RN 391903-64-3 CAPLUS
CN Propanoic acid, 3-[[4-[(4-bromobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)- (9CI) (CA INDEX NAME)



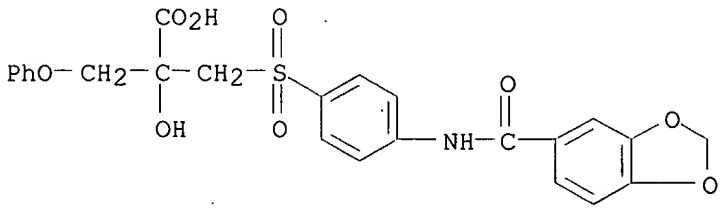
RN 391903-65-4 CAPLUS
CN Propanoic acid, 3-[[4-[[4-(dimethylamino)benzoyl]amino]phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)-, monosodium salt (9CI) (CA INDEX NAME)



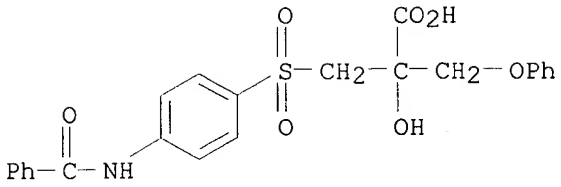
RN 391903-66-5 CAPLUS
CN Propanoic acid, 2-hydroxy-2-[[[4-[(4-methoxybenzoyl)amino]phenyl]sulfonyl]methyl]-3-phenoxy- (9CI) (CA INDEX NAME)



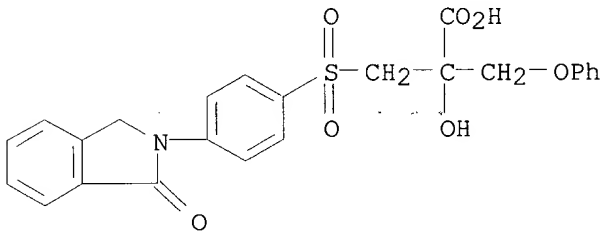
RN 391903-67-6 CAPLUS
CN Propanoic acid, 3-[[4-[(1,3-benzodioxol-5-ylcarbonyl)amino]phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)- (9CI) (CA INDEX NAME)



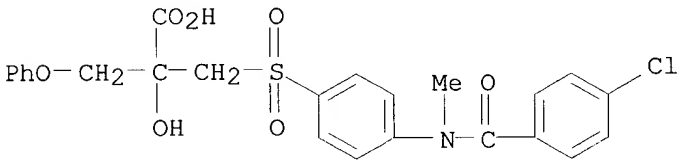
RN 391903-68-7 CAPLUS
CN Propanoic acid, 3-[[4-(benzoylamino)phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)- (9CI) (CA INDEX NAME)



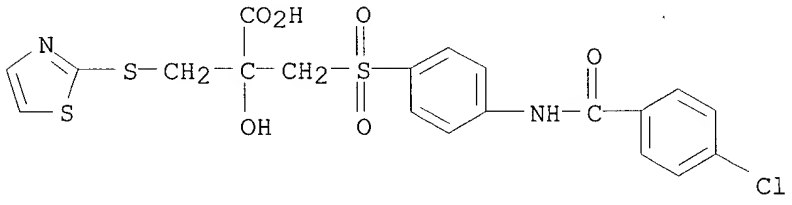
RN 391903-69-8 CAPLUS
CN Propanoic acid, 3-[[4-(1,3-dihydro-1-oxo-2H-isoindol-2-yl)phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)- (9CI) (CA INDEX NAME)



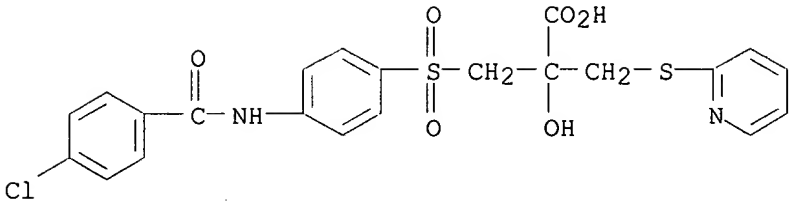
RN 391903-70-1 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)methylamino]phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)- (9CI) (CA INDEX NAME)



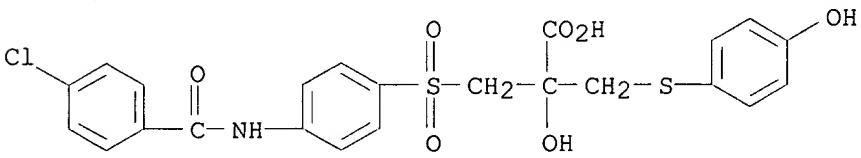
RN 391903-71-2 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-[(2-thiazolylthio)methyl]- (9CI) (CA INDEX NAME)



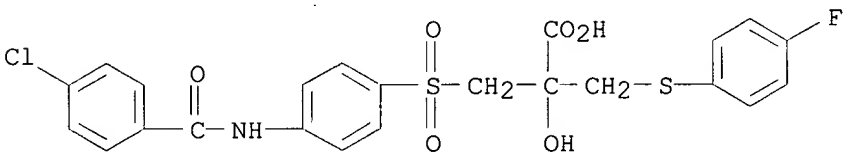
RN 391903-72-3 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-[(2-pyridinylthio)methyl]- (9CI) (CA INDEX NAME)



RN 391903-73-4 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-[[(4-hydroxyphenyl)thio]methyl]- (9CI) (CA INDEX NAME)



RN 391903-74-5 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]-2-[[(4-fluorophenyl)thio]methyl]-2-hydroxy- (9CI) (CA INDEX NAME)



RN 391903-76-7 CAPLUS
CN 1-Imidazolidinepropanoic acid, .alpha.-[[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]methyl]-.alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo- (9CI) (CA INDEX NAME)

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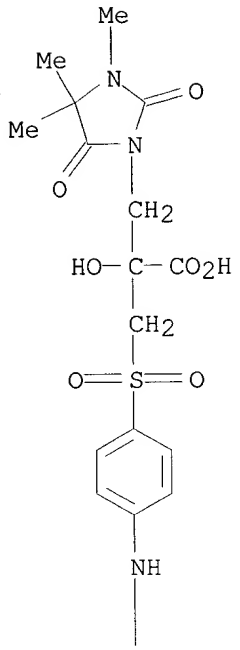

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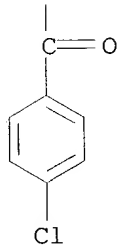
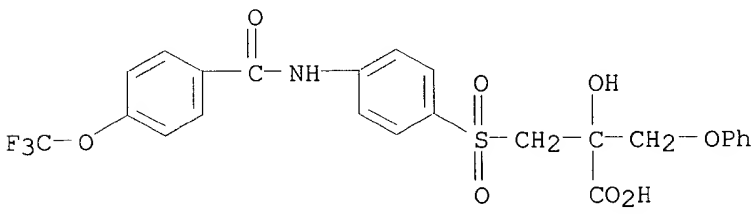
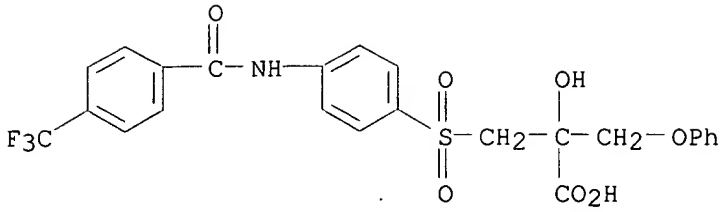


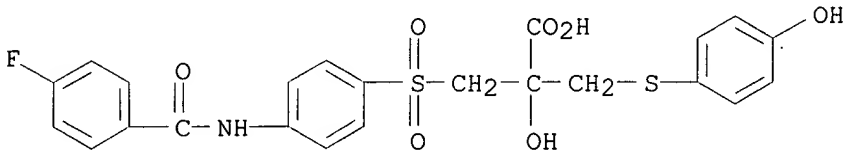
RN 391903-77-8 CAPLUS
CN Propanoic acid, 2-hydroxy-2-(phenoxymethyl)-3-[[4-[[4-(trifluoromethoxy)benzoyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



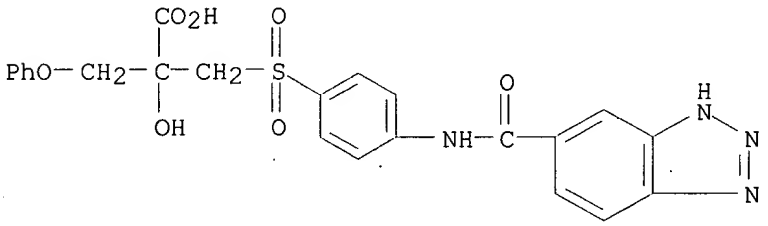
RN 391903-78-9 CAPLUS
CN Propanoic acid, 2-hydroxy-2-(phenoxymethyl)-3-[[4-[[4-(trifluoromethyl)benzoyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



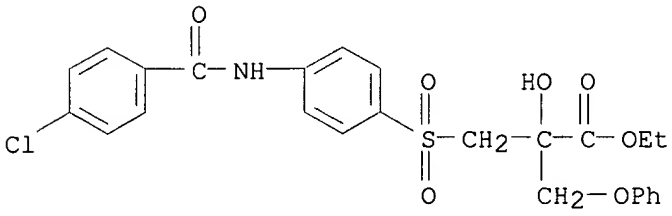
RN 391903-79-0 CAPLUS
CN Propanoic acid, 3-[[4-[(4-fluorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-[[(4-hydroxyphenyl)thio]methyl]- (9CI) (CA INDEX NAME)



RN 391904-13-5 CAPLUS
CN Propanoic acid, 3-[[4-[(1H-benzotriazol-5-ylcarbonyl)amino]phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)- (9CI) (CA INDEX NAME)

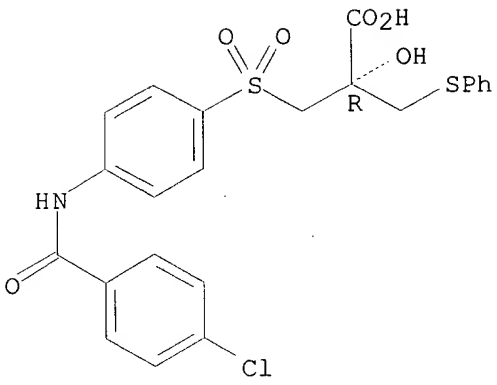


RN 391904-14-6 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)-, ethyl ester (9CI) (CA INDEX NAME)

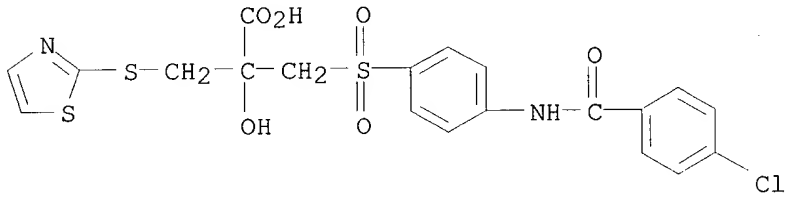


RN 391904-15-7 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

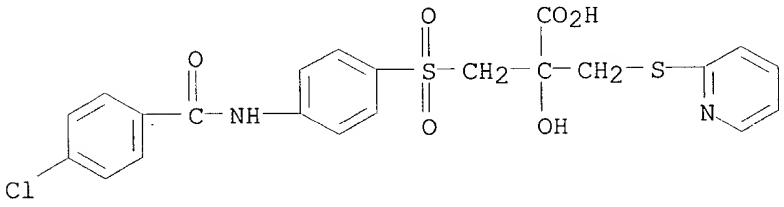


RN 391904-16-8 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-[(2-thiazolylthio)methyl]-, monosodium salt (9CI) (CA INDEX NAME)



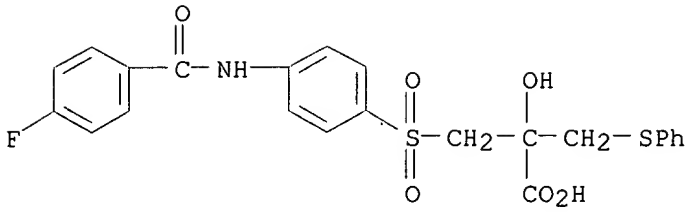
● Na

RN 391904-18-0 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-[(2-pyridinylthio)methyl]-, monosodium salt (9CI) (CA INDEX NAME)

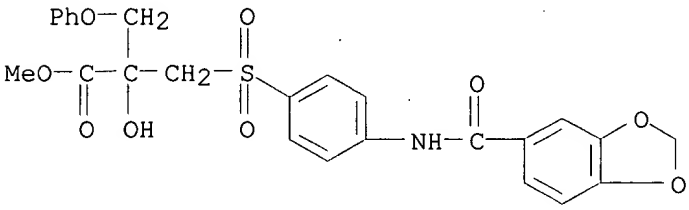


● Na

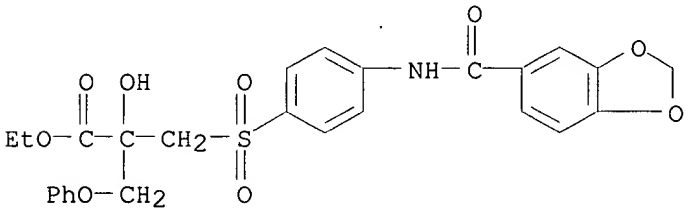
RN 391904-19-1 CAPLUS
CN Propanoic acid, 3-[[4-[(4-fluorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]- (9CI) (CA INDEX NAME)



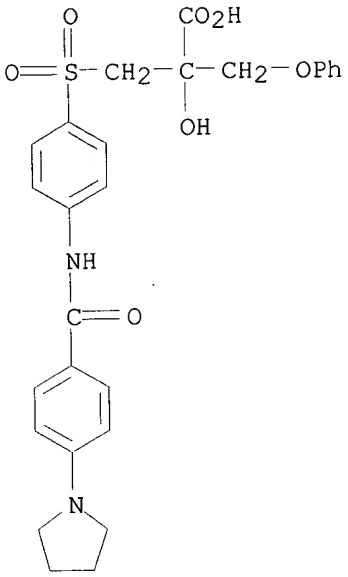
RN 391904-20-4 CAPLUS
CN Propanoic acid, 3-[[4-[(1,3-benzodioxol-5-ylcarbonyl)amino]phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)-, methyl ester (9CI) (CA INDEX NAME)



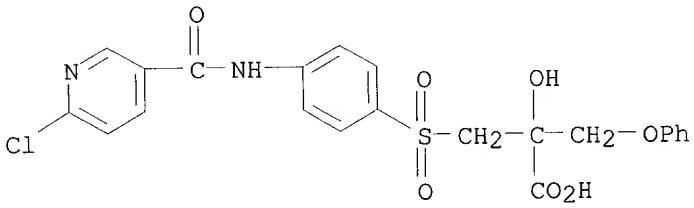
RN 391904-21-5 CAPLUS
CN Propanoic acid, 3-[[4-[(1,3-benzodioxol-5-ylcarbonyl)amino]phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)-, ethyl ester (9CI) (CA INDEX NAME)



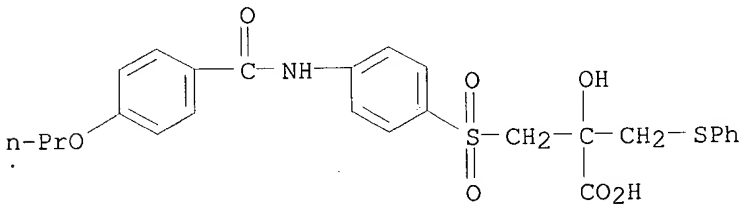
RN 391904-22-6 CAPLUS
CN Propanoic acid, 2-hydroxy-2-(phenoxymethyl)-3-[[4-[[4-(1-pyrrolidinyl)benzoyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



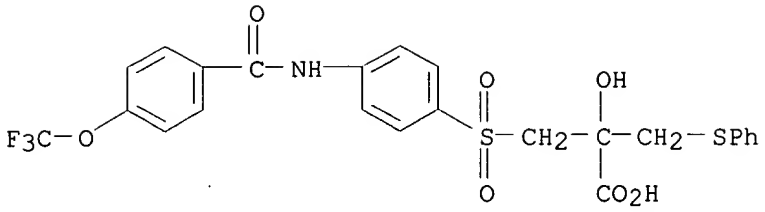
RN 391904-23-7 CAPLUS
CN Propanoic acid, 3-[[4-[[(6-chloro-3-pyridinyl)carbonyl]amino]phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)- (9CI) (CA INDEX NAME)



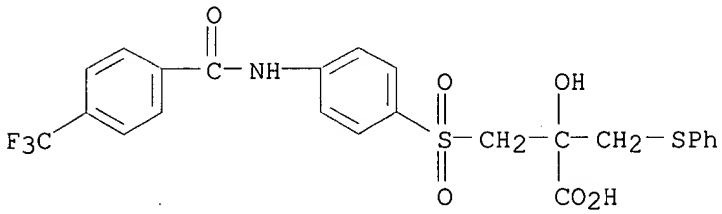
RN 391904-24-8 CAPLUS
CN Propanoic acid, 2-hydroxy-2-[(phenylthio)methyl]-3-[[4-[(4-propoxybenzoyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



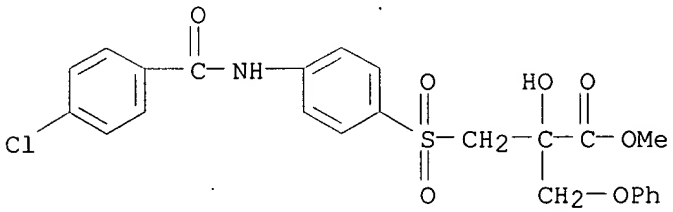
RN 391904-25-9 CAPLUS
CN Propanoic acid, 2-hydroxy-2-[(phenylthio)methyl]-3-[[4-[[4-(trifluoromethoxy)benzoyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 391904-26-0 CAPLUS
CN Propanoic acid, 2-hydroxy-2-[(phenylthio)methyl]-3-[[4-[[4-(trifluoromethyl)benzoyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



IT **391904-12-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 3-arylsulfonyl-2-hydroxy-2-methylpropanoic acids as inhibitors of matrix metallo-proteinases (MMPs))
RN 391904-12-4 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)-, methyl ester (9CI) (CA INDEX NAME)



IT **391903-84-7P 391903-85-8P 391903-86-9P**
391903-90-5P 391903-91-6P 391903-92-7P
391903-93-8P 391903-94-9P 391903-95-0P
391903-96-1P 391903-97-2P 391903-98-3P
391903-99-4P 391904-00-0P 391904-01-1P
391904-07-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 3-arylsulfonyl-2-hydroxy-2-methylpropanoic acids as inhibitors of matrix metallo-proteinases (MMPs))
RN 391903-84-7 CAPLUS
CN 1-Imidazolidinebutanoic acid, .alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo-.alpha.-[[[4-[(trifluoroacetyl)amino]phenyl]sulfonyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

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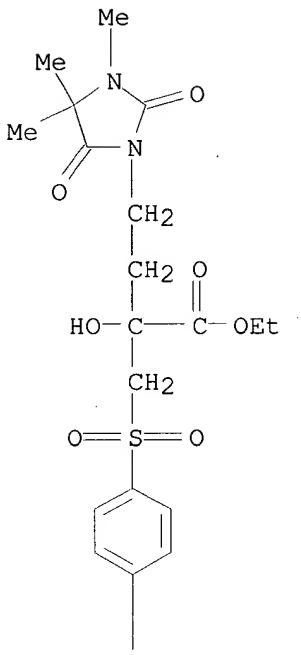

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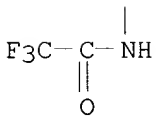
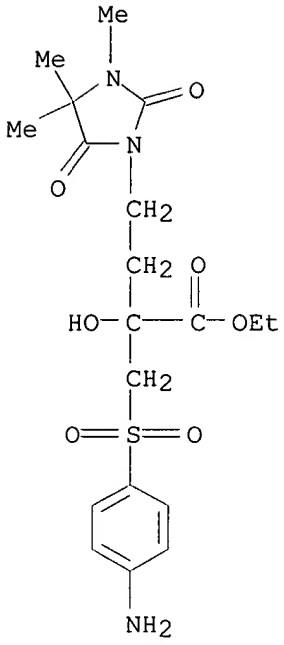


RN 391903-85-8 CAPLUS
CN 1-Imidazolidinebutanoic acid, .alpha.-[[(4-aminophenyl)sulfonyl]methyl]-.alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 391903-86-9 CAPLUS
CN 1-Imidazolidinebutanoic acid, .alpha.-[[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]methyl]-.alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo-, ethyl ester (9CI) (CA INDEX NAME)

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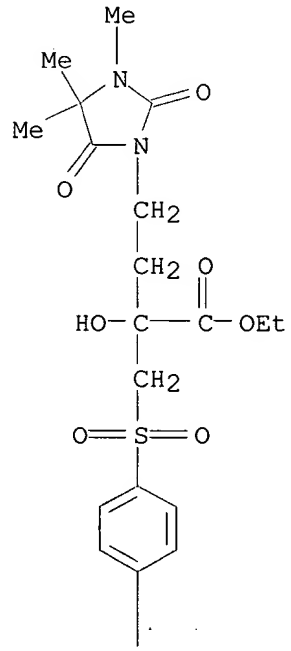

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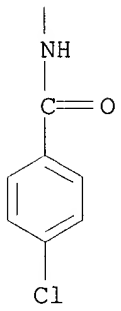
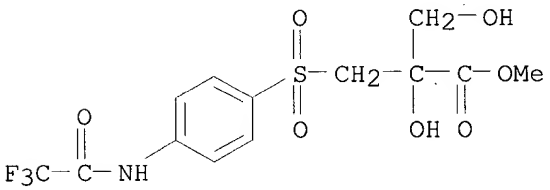
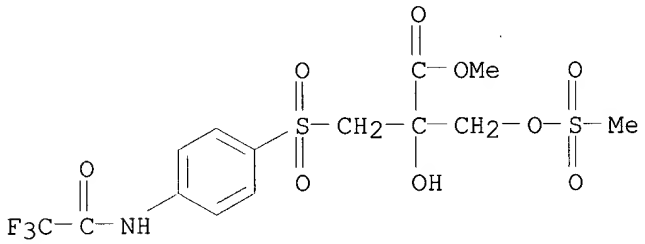


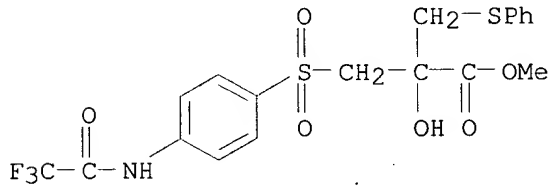
RN 391903-90-5 CAPLUS
CN Propanoic acid, 2,3-dihydroxy-2-[[[4-[(trifluoroacetyl)amino]phenyl]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 391903-91-6 CAPLUS
CN Propanoic acid, 2-hydroxy-2-[[(methylsulfonyl)oxy]methyl]-3-[[4-[(trifluoroacetyl)amino]phenyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

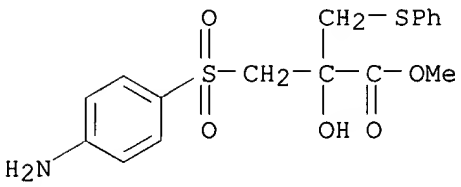


RN 391903-92-7 CAPLUS
CN Propanoic acid, 2-hydroxy-2-[(phenylthio)methyl]-3-[[4-[(trifluoroacetyl)amino]phenyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

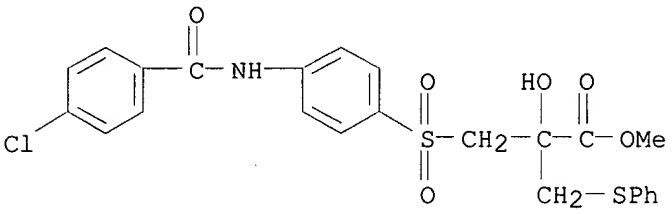


RN 391903-93-8 CAPLUS
CN Propanoic acid, 3-[(4-aminophenyl)sulfonyl]-2-hydroxy-2-

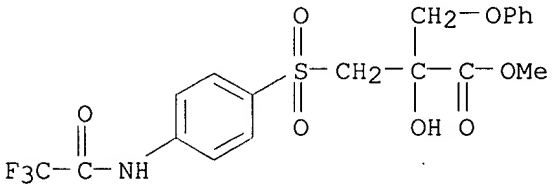
[(phenylthio)methyl]-, methyl ester (9CI) (CA INDEX NAME)



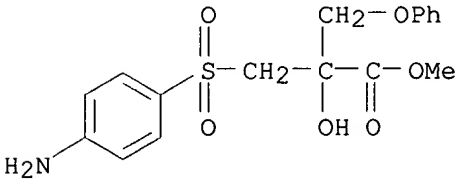
RN 391903-94-9 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]-, methyl ester (9CI) (CA INDEX NAME)



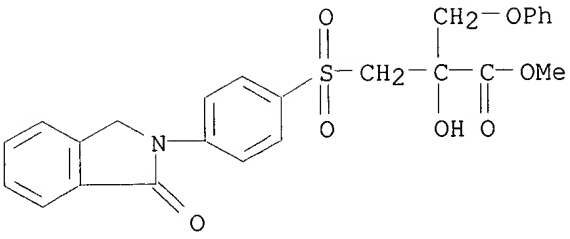
RN 391903-95-0 CAPLUS
CN Propanoic acid, 2-hydroxy-2-(phenoxymethyl)-3-[[4-[(trifluoroacetyl)amino]phenyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



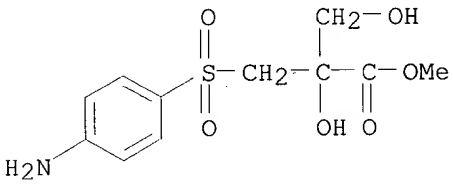
RN 391903-96-1 CAPLUS
CN Propanoic acid, 3-[(4-aminophenyl)sulfonyl]-2-hydroxy-2-(phenoxymethyl)-, methyl ester (9CI) (CA INDEX NAME)



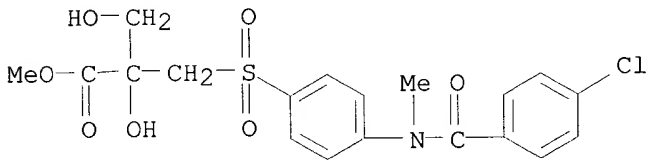
RN 391903-97-2 CAPLUS
CN Propanoic acid, 3-[[4-(1,3-dihydro-1-oxo-2H-isoindol-2-yl)phenyl]sulfonyl]-2-hydroxy-2-(phenoxymethyl)-, methyl ester (9CI) (CA INDEX NAME)



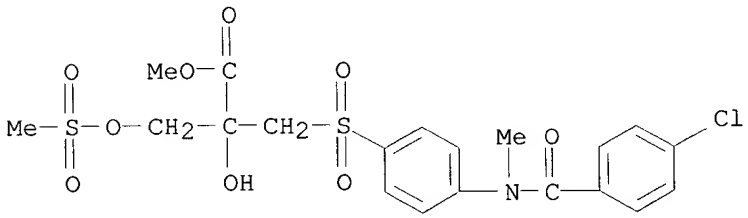
RN 391903-98-3 CAPLUS
CN Propanoic acid, 3-[(4-aminophenyl)sulfonyl]-2-hydroxy-2-(hydroxymethyl)-, methyl ester (9CI) (CA INDEX NAME)



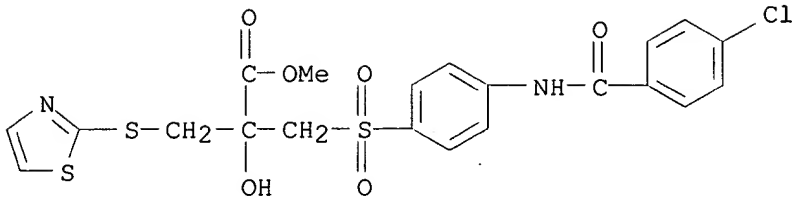
RN 391903-99-4 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)methylamino]phenyl]sulfonyl]-2-hydroxy-2-(hydroxymethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 391904-00-0 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)methylamino]phenyl]sulfonyl]-2-hydroxy-2-[[(methylsulfonyl)oxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

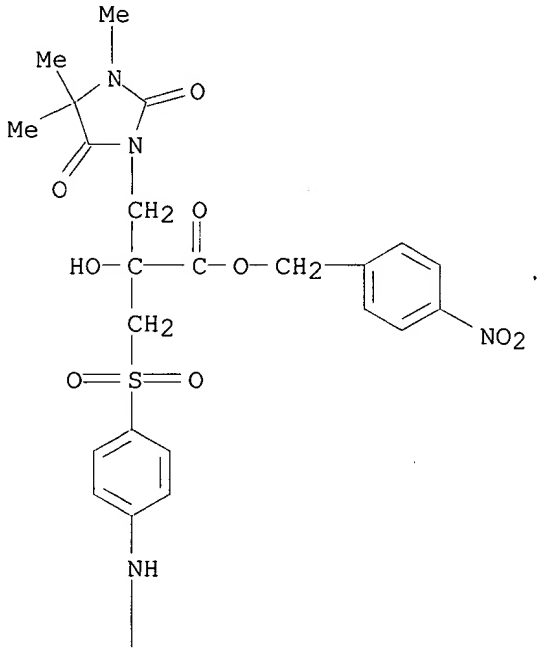


RN 391904-01-1 CAPLUS
CN Propanoic acid, 3-[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]-2-hydroxy-2-[(2-thiazolylthio)methyl]-, methyl ester (9CI) (CA INDEX NAME)

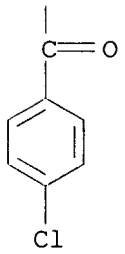


RN 391904-07-7 CAPLUS
CN 1-Imidazolidinepropanoic acid, .alpha.-[[[4-[(4-chlorobenzoyl)amino]phenyl]sulfonyl]methyl]-.alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:396841 CAPLUS

DOCUMENT NUMBER: 135:5449
TITLE: Preparation of (R)-3-(4-chlorobiphenylsulfonyl)-2-hydroxy-2-(phenylthio)methylpropionic acid and its use as a matrix metalloproteinase inhibitor in the treatment of cancer
INVENTOR(S): Bissolino, Pierluigi; Mantegani, Sergio; Orzi, Fabrizio; Jabes, Daniela; Alzani, Rachele; D'anello, Matteo; Perrone, Ettore
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.P.A., Italy
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001038301	A1	20010531	WO 2000-EP10837	20001101

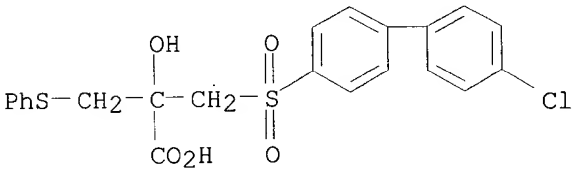
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1999-27453 A 19991119
OTHER SOURCE(S): CASREACT 135:5449

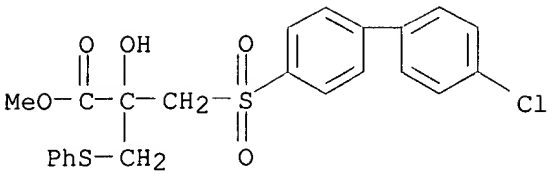
AB (R)-3-(4-chlorobiphenylsulfonyl)-2-hydroxy-2-(phenylthio)methylpropionic acid and its salts, useful as a matrix metalloproteinase inhibitor in the treatment of cancers, is prepd. along with its salts.

IT **226419-98-3P 341498-80-4P 341498-84-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in the prepn. of (R)-3-(4-chlorobiphenylsulfonyl)-2-hydroxy-2-(phenylthio)methylpropionic acid and its salts as matrix metalloproteinase inhibitors useful in the treatment of cancers)

RN 226419-98-3 CAPLUS
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]- (9CI) (CA INDEX NAME)



RN 341498-80-4 CAPLUS
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]-, methyl ester (9CI) (CA INDEX NAME)

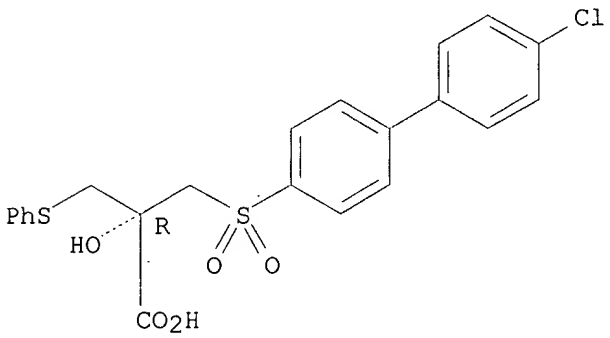


RN 341498-84-8 CAPLUS
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]-, (2R)-, compd. with (.alpha.S)-.alpha.-[(1R)-1-(methylamino)ethyl]benzenemethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 341498-83-7
CMF C22 H19 Cl O5 S2

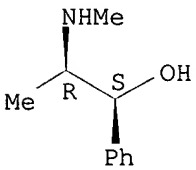
Absolute stereochemistry. Rotation (+).



CM 2

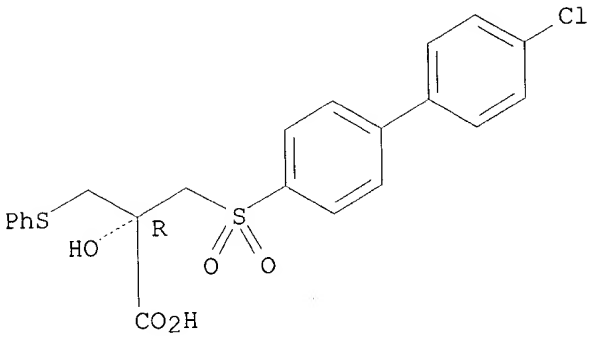
CRN 321-98-2
CMF C10 H15 N O

Absolute stereochemistry. Rotation (+).



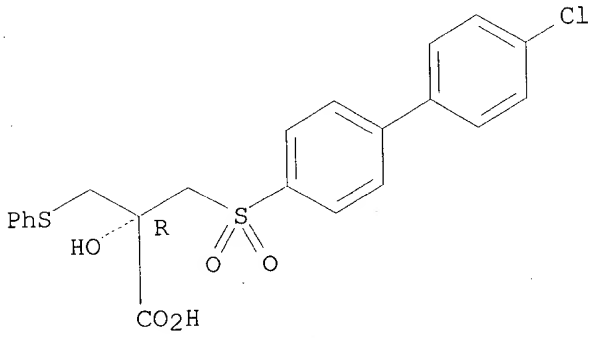
IT **341498-83-7P 341498-89-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of (R)-3-(4-chlorobiphenylsulfonyl)-2-hydroxy-2-(phenylthio)methylpropionic acid and its salts as matrix metalloproteinase inhibitors useful in the treatment of cancers)
RN 341498-83-7 CAPLUS
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 341498-89-3 CAPLUS
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]-, monosodium salt, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

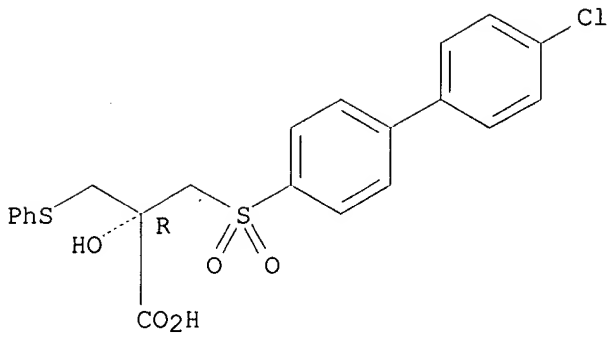
IT **341498-92-8P 341498-95-1P 341498-98-4P**
341499-01-2P 341499-04-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (R)-3-(4-chlorobiphenylsulfonyl)-2-hydroxy-2-(phenylthio)methylpropionic acid and its salts as matrix metalloproteinase inhibitors useful in the treatment of cancers)

RN 341498-92-8 CAPLUS
CN L-Arginine, mono[(2R)-3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]propanoate] (9CI) (CA INDEX NAME)

CM 1

CRN 341498-83-7
CMF C22 H19 Cl O5 S2

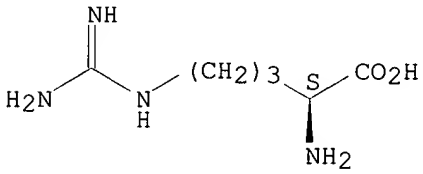
Absolute stereochemistry. Rotation (+).



CM 2

CRN 74-79-3
CMF C6 H14 N4 O2

Absolute stereochemistry.

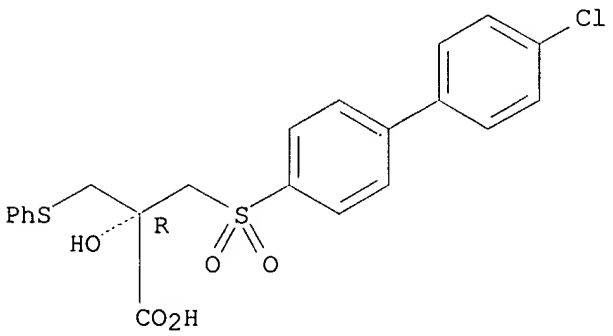


RN 341498-95-1 CAPLUS
CN D-Glucitol, 1-deoxy-1-(methylamino)-, (2R)-3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]propanoate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 341498-83-7
CMF C22 H19 Cl O5 S2

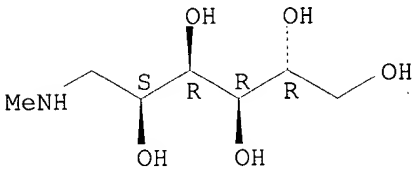
Absolute stereochemistry. Rotation (+).



CM 2

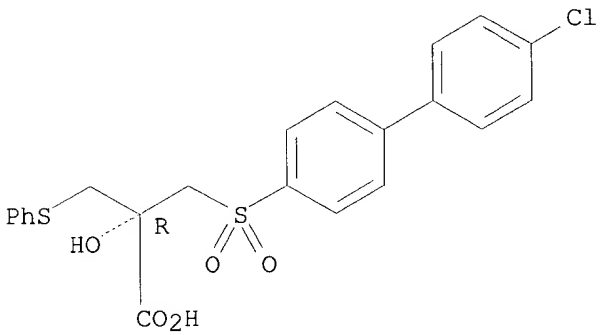
CRN 6284-40-8
CMF C7 H17 N O5

Absolute stereochemistry.



RN 341498-98-4 CAPLUS
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]-, monopotassium salt, (2R)- (9CI) (CA INDEX NAME)

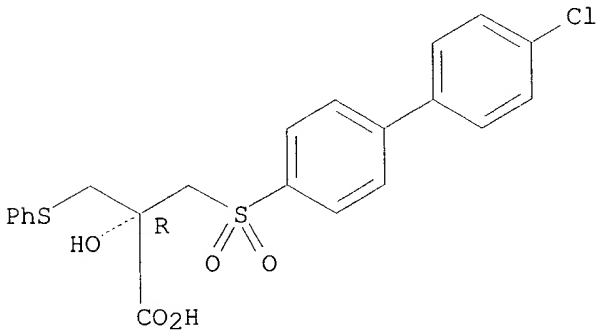
Absolute stereochemistry.



● K

RN 341499-01-2 CAPLUS
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]-, calcium salt (2:1), (2R)- (9CI) (CA INDEX NAME)

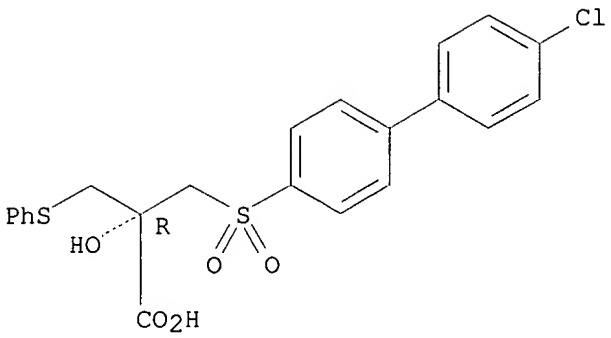
Absolute stereochemistry.



●1/2 Ca

RN 341499-04-5 CAPLUS
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]-, magnesium salt (2:1), (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●1/2 Mg

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

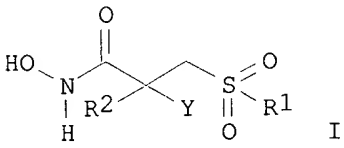
L17 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:354468 CAPLUS
DOCUMENT NUMBER: 131:18833
TITLE: Preparation of .alpha.-hydroxy, -amino, and halo derivatives of .beta.-sulfonyl hydroxamic acids as matrix metalloproteinases inhibitors
INVENTOR(S): Warpehoski, Martha A.; Mitchell, Mark Allen; Harper, Donald E.; Maggiora, Linda Louise
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Applicant

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9926909	A2	19990603	WO 1998-IB2154	19981118
WO 9926909	A3	19990826		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2310401	AA	19990603	CA 1998-2310401	19981118
AU 9925405	A1	19990615	AU 1999-25405	19981118
EP 1037868	A2	20000927	EP 1998-966869	19981118
EP 1037868	B1	20030205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9814699	A	20001003	BR 1998-14699	19981118
JP 2001524462	T2	20011204	JP 2000-522069	19981118
AT 232192	E	20030215	AT 1998-966869	19981118
US 6437177	B1	20020820	US 1998-196190	19981120
NO 2000002505	A	20000630	NO 2000-2505	20000515

PRIORITY APPLN. INFO.: US 1997-72655P P 19971121
WO 1998-IB2154 W 19981118

OTHER SOURCE(S): MARPAT 131:18833
GI



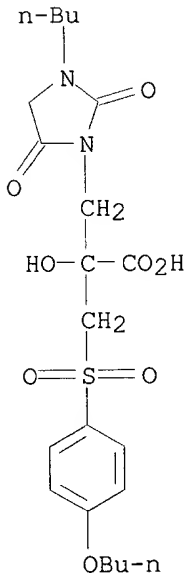
I

AB The title compds. [I; R1 = C4-12 alkyl, C4-12 alkenyl, C4-12 alkynyl, etc.; R2 = C1-12 alkyl, C4-12 alkenyl, C4-12 alkynyl, etc.; Y = OH, NR9R10, F; R9, R10 = H, COR3, CO2R3, etc.; R3 = H, cycloalkyl, alkyl, etc.], inhibitors of matrix metalloproteinases which are useful in treating osteoarthritis, rheumatoid arthritis, septic arthritis, osteoporosis, tumor metastasis, periodontitis, gingivitis, corneal ulceration, dermal ulceration, gastric ulceration, inflammation, or asthma, were prepd. E.g., a 7-step synthesis of I [R1 = 4-PhC6H4; R2 = 4-MeOC6H4SO2CH2; Y = OH] which showed Ki of 0.074 .mu.M and 0.0019 .mu.M against stromelysin and gelatinase, resp.

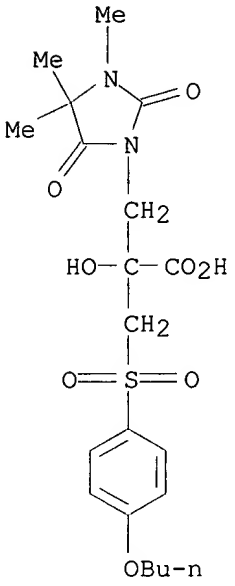
IT **226419-90-5P 226419-91-6P 226419-92-7P**
226419-93-8P 226419-94-9P 226419-95-0P
226419-96-1P 226419-97-2P 226419-98-3P
226419-99-4P 226420-00-4P 226420-01-5P
226420-02-6P 226420-03-7P 226420-04-8P
226420-05-9P 226420-06-0P 226420-07-1P
226420-08-2P 226420-09-3P 226420-10-6P
226420-11-7P 226420-12-8P 226420-13-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .alpha.-hydroxy, -amino, and halo derivs. of .beta.-sulfonyl hydroxamic acids as matrix metalloproteinases inhibitors)

RN 226419-90-5 CAPLUS

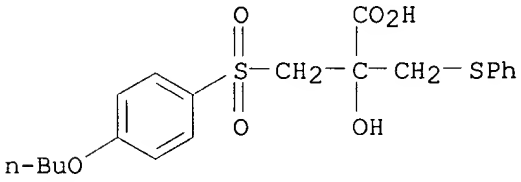
CN 1-Imidazolidinepropanoic acid, .alpha.-[[(4-butoxyphenyl)sulfonyl]methyl]-3-butyl-.alpha.-hydroxy-2,5-dioxo- (9CI) (CA INDEX NAME)



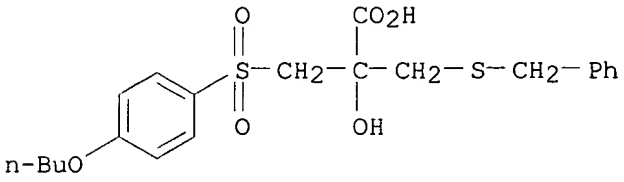
RN 226419-91-6 CAPLUS
CN 1-Imidazolidinepropanoic acid, .alpha.-[[(4-butoxyphenyl)sulfonyl]methyl]-.alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo- (9CI) (CA INDEX NAME)



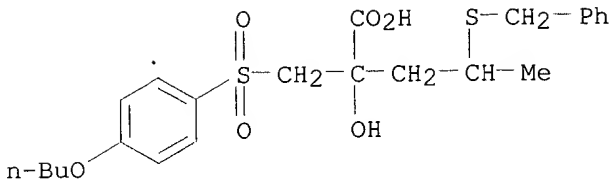
RN 226419-92-7 CAPLUS
CN Propanoic acid, 3-[(4-butoxyphenyl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]- (9CI) (CA INDEX NAME)



RN 226419-93-8 CAPLUS
CN Propanoic acid, 3-[(4-butoxyphenyl)sulfonyl]-2-hydroxy-2-[[(phenylmethyl)thio]methyl]- (9CI) (CA INDEX NAME)



RN 226419-94-9 CAPLUS
CN Pentonic acid, 2-C-[[(4-butoxyphenyl)sulfonyl]methyl]-3,5-dideoxy-4-S-(phenylmethyl)-4-thio- (9CI) (CA INDEX NAME)



RN 226419-95-0 CAPLUS
CN 1-Imidazolidinepropanoic acid, .alpha.-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]methyl]-.alpha.-hydroxy-3-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)

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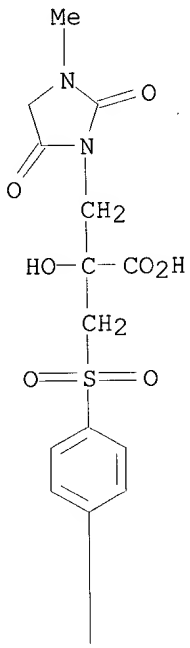

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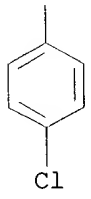

RN 226419-96-1 CAPLUS
CN 1-Imidazolidinepropanoic acid, 3-butyl-.alpha.-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]methyl]-.alpha.-hydroxy-2,5-dioxo- (9CI) (CA INDEX NAME)

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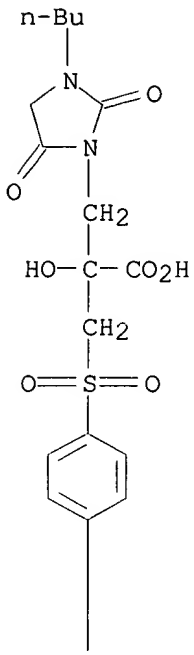
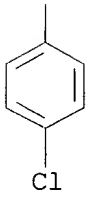
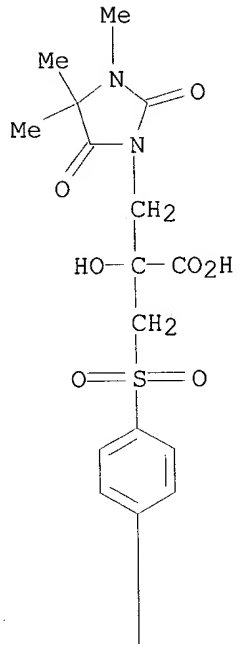


PAGE 2-A



RN 226419-97-2 CAPLUS
CN 1-Imidazolidinepropanoic acid, .alpha.-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]methyl]-.alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



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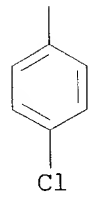
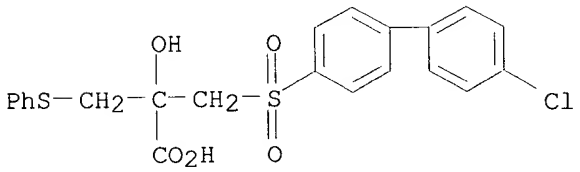
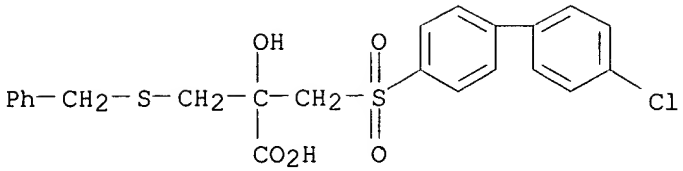


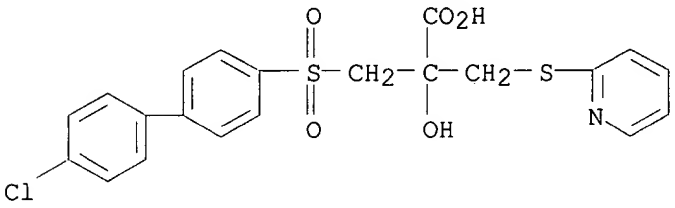
RN 226419-98-3 CAPLUS
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]- (9CI) (CA INDEX NAME)



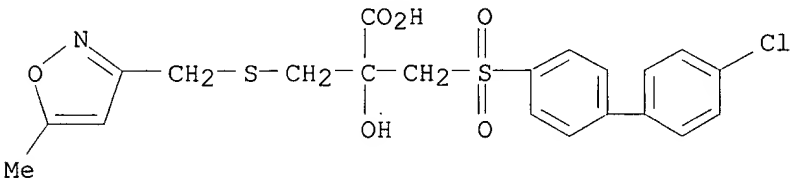
RN 226419-99-4 CAPLUS
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[[(phenylmethyl)thio]methyl]- (9CI) (CA INDEX NAME)



RN 226420-00-4 CAPLUS
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(2-pyridinylthio)methyl]- (9CI) (CA INDEX NAME)



RN 226420-01-5 CAPLUS
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[[[(5-methyl-3-isoxazolyl)methyl]thio]methyl]- (9CI) (CA INDEX NAME)



RN 226420-02-6 CAPLUS
CN Pentonic acid, 2-C-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]methyl]-3,4,5-trideoxy-4-(3-methyl-2,5-dioxo-1-imidazolidinyl)- (9CI) (CA INDEX NAME)

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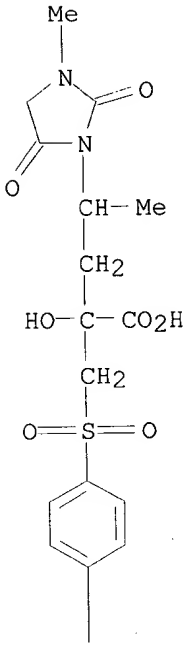

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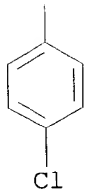
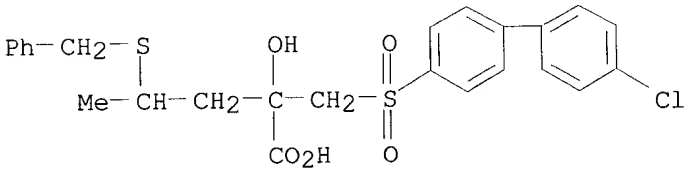
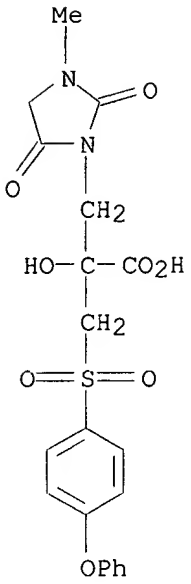


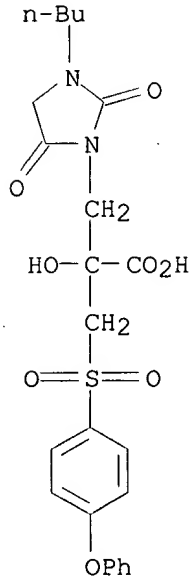
RN 226420-03-7 CAPLUS
CN Pentonic acid, 2-C-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]methyl]-3,5-dideoxy-4-S-(phenylmethyl)-4-thio- (9CI) (CA INDEX NAME)



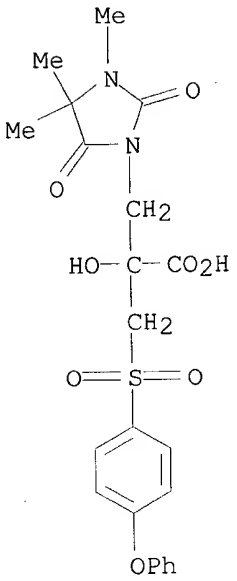
RN 226420-04-8 CAPLUS
CN 1-Imidazolidinepropanoic acid, .alpha.-hydroxy-3-methyl-2,5-dioxo-.alpha.-[[(4-phenoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



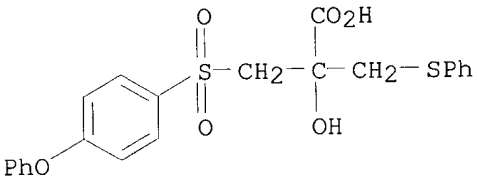
RN 226420-05-9 CAPLUS
CN 1-Imidazolidinepropanoic acid, 3-butyl-.alpha.-hydroxy-2,5-dioxo-.alpha.-[[(4-phenoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



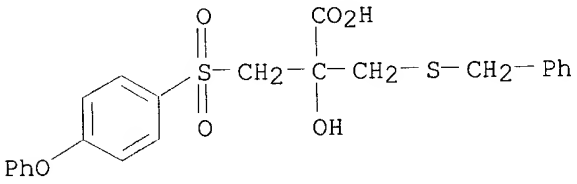
RN 226420-06-0 CAPLUS
CN 1-Imidazolidinepropanoic acid, .alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo-.alpha.-[[(4-phenoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



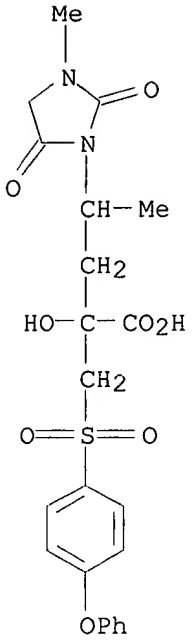
RN 226420-07-1 CAPLUS
CN Propanoic acid, 2-hydroxy-2-[[(4-phenoxyphenyl)sulfonyl]methyl]-3-(phenylthio)- (9CI) (CA INDEX NAME)



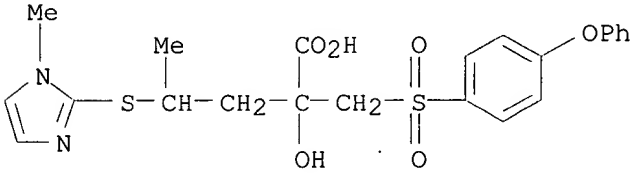
RN 226420-08-2 CAPLUS
CN Propanoic acid, 2-hydroxy-2-[[(4-phenoxyphenyl)sulfonyl]methyl]-3-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



RN 226420-09-3 CAPLUS
CN Pentonic acid, 3,4,5-trideoxy-4-(3-methyl-2,5-dioxo-1-imidazolidinyl)-2-C-[[(4-phenoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



RN 226420-10-6 CAPLUS
CN Pentonic acid, 3,5-dideoxy-4-S-(1-methyl-1H-imidazol-2-yl)-2-C-[[(4-phenoxyphenyl)sulfonyl]methyl]-4-thio- (9CI) (CA INDEX NAME)



RN 226420-11-7 CAPLUS
CN 1-Imidazolidinepropanoic acid, .alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo-.alpha.-[[[4-(4-pyridinyl)phenyl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

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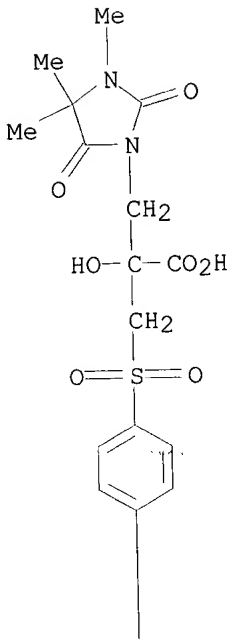

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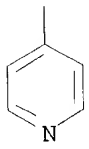
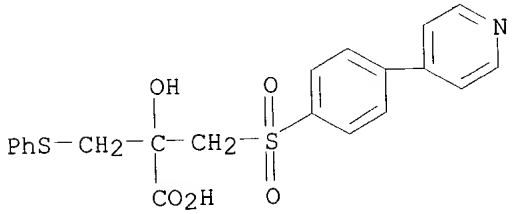


RN 226420-12-8 CAPLUS
CN Propanoic acid, 2-hydroxy-2-[(phenylthio)methyl]-3-[[4-(4-pyridinyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 226420-13-9 CAPLUS
CN 1-Imidazolidinepropanoic acid, .alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo-.alpha.-[[[4-(4-pyridinyloxy)phenyl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

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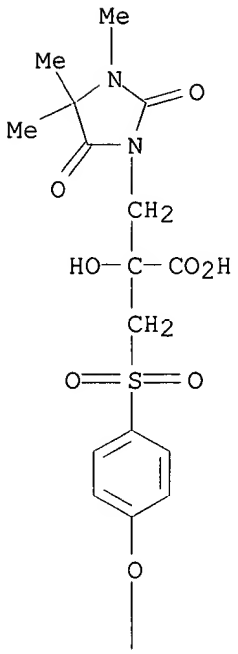

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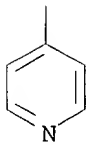
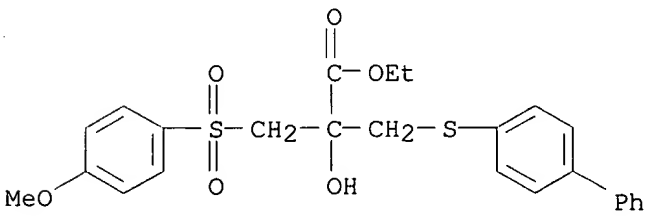
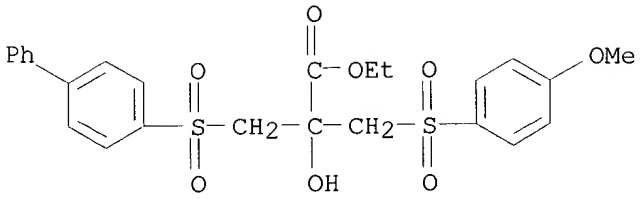


IT **226420-16-2P 226420-17-3P 226420-18-4P**
226420-20-8P 226420-21-9P 226420-22-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of .alpha.-hydroxy, -amino, and halo derivs. of .beta.-sulfonyl hydroxamic acids as matrix metalloproteinases inhibitors)

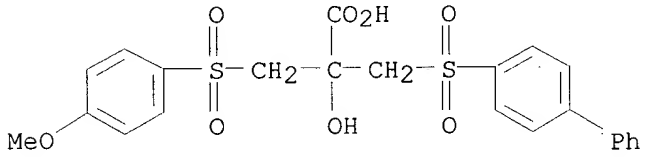
RN 226420-16-2 CAPLUS
CN Propanoic acid, 3-([1,1'-biphenyl]-4-ylthio)-2-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



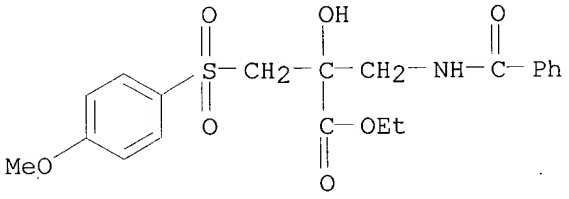
RN 226420-17-3 CAPLUS
CN Propanoic acid, 3-([1,1'-biphenyl]-4-ylsulfonyl)-2-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



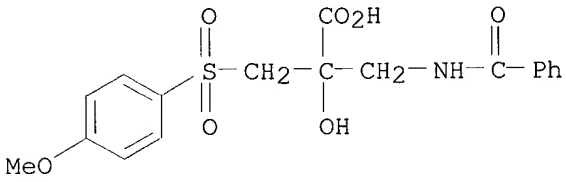
RN 226420-18-4 CAPLUS
CN Propanoic acid, 3-([1,1'-biphenyl]-4-ylsulfonyl)-2-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



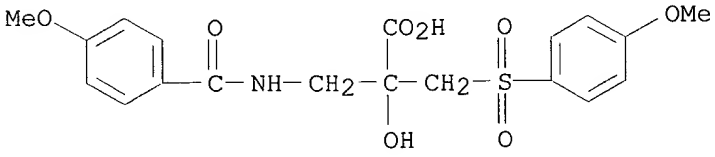
RN 226420-20-8 CAPLUS
CN Propanoic acid, 3-(benzoylamino)-2-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 226420-21-9 CAPLUS
CN Propanoic acid, 3-(benzoylamino)-2-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



RN 226420-22-0 CAPLUS
CN Propanoic acid, 2-hydroxy-3-[(4-methoxybenzoyl)amino]-2-[[(4-methoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



L17 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:612095 CAPLUS
DOCUMENT NUMBER: 129:244921
TITLE: Preparation of aromatic sulfonyl alpha-hydroxy hydroxamic acid compounds as matrix metalloprotease inhibitors
INVENTOR(S): Freskos, John N.; Boehm, Terri L.; Mischke, Brent V.; Heintz, Robert M.; Mcdonald, Joseph J.; Decrescenzo, Gary A.; Howard, Susan C.
PATENT ASSIGNEE(S): Monsanto Company, USA
SOURCE: PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9839326	A1	19980911	WO 1998-US4277	19980304
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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AU 737329	B2	20010816		
EP 984959	A1	20000315	EP 1998-910177	19980304
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BR 9808150	A	20000328	BR 1998-8150	19980304
JP 2002515900	T2	20020528	JP 1998-537985	19980304
US 6362183	B1	20020326	US 1999-254535	19990604
US 2002173508	A1	20021121	US 2001-5437	20011203

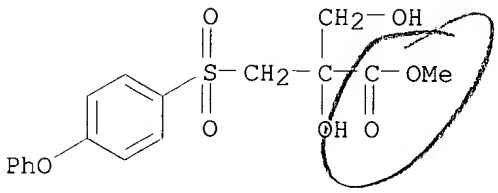
PRIORITY APPLN. INFO.: US 1997-35182P P 19970304
WO 1998-US4277 W 19980304
US 1999-254535 A1 19990604

OTHER SOURCE(S): MARPAT 129:244921

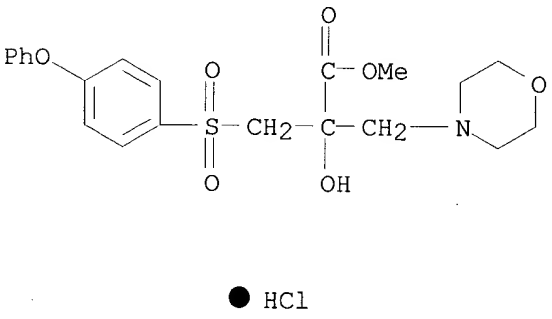
AB The title compds. HONHC(O)C(OH)(R2)CH2SO2R1 [I; R2 = H, C1-4 alkyl, C1-4 haloalkyl, etc.; R1 = 5-6 membered cycloalkyl, heterocyclyl, aryl, etc.] which inter alia inhibit matrix metalloprotease activity, were prepd. Thus, multi-step synthesis of I [R1 = 4-PhOC6H4; R2 = Me] which showed 51.9% inhibition of angiogenesis in the cornea of a mouse, was described.

IT **213184-22-6P 213184-23-7P 213184-27-1P**
213184-30-6P 213184-31-7P 213184-32-8P
213184-47-5P 213184-48-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arom. sulfonyl alpha-hydroxy hydroxamic acid compds. as matrix metalloprotease inhibitors)

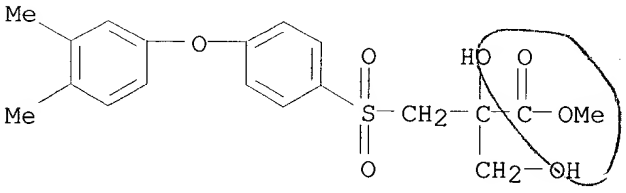
RN 213184-22-6 CAPLUS
CN Propanoic acid, 2,3-dihydroxy-2-[[(4-phenoxyphenyl)sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



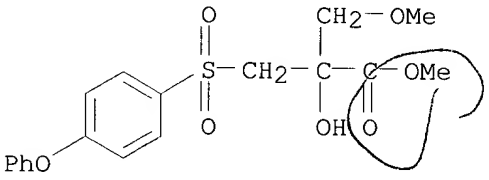
RN 213184-23-7 CAPLUS
CN 4-Morpholinepropanoic acid, .alpha.-hydroxy-.alpha.-[[(4-phenoxyphenyl)sulfonyl]methyl]-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)



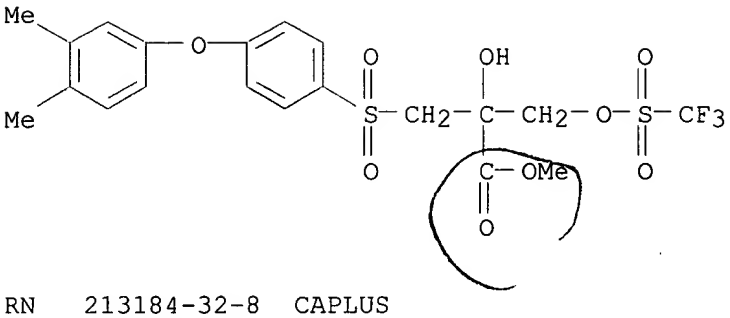
RN 213184-27-1 CAPLUS
CN Propanoic acid, 3-[[4-(3,4-dimethylphenoxy)phenyl]sulfonyl]-2-hydroxy-2-(hydroxymethyl)-, methyl ester (9CI) (CA INDEX NAME)



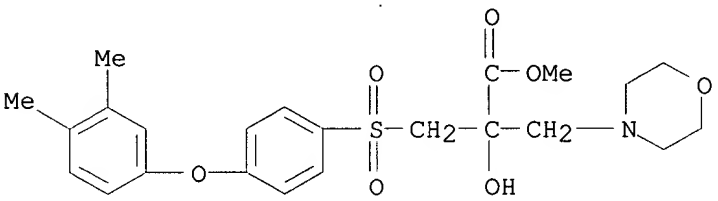
RN 213184-30-6 CAPLUS
CN Propanoic acid, 2-hydroxy-2-(methoxymethyl)-3-[(4-phenoxyphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 213184-31-7 CAPLUS
CN Propanoic acid, 3-[[4-(3,4-dimethylphenoxy)phenyl]sulfonyl]-2-hydroxy-2-[[[(trifluoromethyl)sulfonyl]oxy]methyl]-, methyl ester (9CI) (CA INDEX NAME)

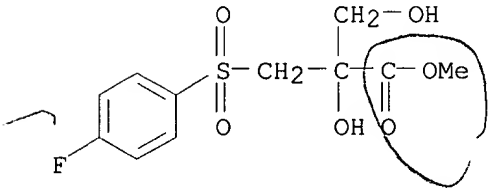


RN 213184-32-8 CAPLUS
CN 4-Morpholinepropanoic acid, .alpha.-[[[4-(3,4-dimethylphenoxy)phenyl]sulfonyl]methyl]-.alpha.-hydroxy-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)

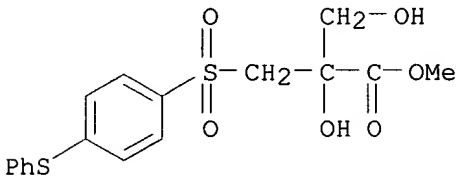


● HCl

RN 213184-47-5 CAPLUS
CN Propanoic acid, 3-[(4-fluorophenyl)sulfonyl]-2-hydroxy-2-(hydroxymethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 213184-48-6 CAPLUS
CN Propanoic acid, 2,3-dihydroxy-2-[[[4-(phenylthio)phenyl]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



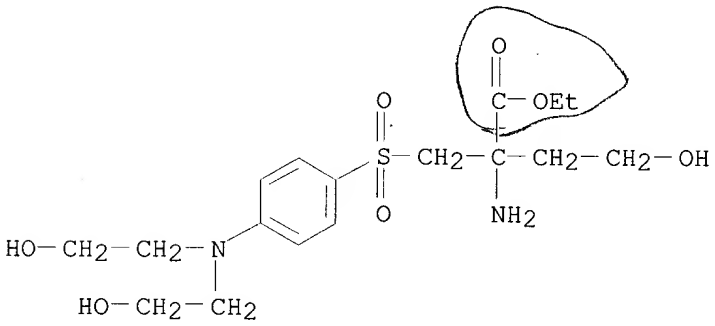
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1968:418764 CAPLUS
DOCUMENT NUMBER: 69:18764

TITLE: Some reactions of ethyl .beta.-(p-aminophenylsulfonyl)-.alpha.-nitropropionate
AUTHOR(S): Mikheeva, L. F.; Lisova, V. S.; Dmitrenko, V. N.
CORPORATE SOURCE: Leningrad. Khim.-Farm. Inst., Leningrad, USSR
SOURCE: Zhurnal Organicheskoi Khimii (1968), 4(5), 834-6
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB The structure of p-H2N-C6H4SO2CH2CH(NO2)CO2Et (I), which was prepd. by L. F. Mikheeva in 1963, is further corroborated by its chem. reactions. Redn. and simultaneous hydrolysis of I gave p-H2NC6H4SO2CH2CH(NH2)CO2H. Action of ethylene oxide in aq. soln. on I gave p-(HCOCH2CH2)2NC6H4SO2CH2CH(NO2)CO2Et (II) and a small amt. of p-(HOCH2CH2)2NC6H4SO2CH2C(NO2)(CH2CH2OH)CO2Et which was reduced to p-(HOCH2CH2)2NC6H4SO2CH2C(NH2)(CH2CH2OH)CO2Et. Redn. of II also proceeded with simultaneous hydrolysis; p-(HOCH2CH2)NC6H4SO2CH2CH(NH)2CO2H (IV) was obtained. The reaction of IV.2HCl with SOCl2 gave p-(ClCH2CH2)2NC6H4SO2CH2CH(NH2.HCl)CO2H.

IT **18739-89-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 18739-89-4 CAPLUS
CN Butyric acid, 2-amino-2-[[N,N-bis(2-hydroxyethyl)sulfanilyl]methyl]-4-hydroxy-, ethyl ester (8CI) (CA INDEX NAME)



L17 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1961:13184 CAPLUS
DOCUMENT NUMBER: 55:13184
ORIGINAL REFERENCE NO.: 55:2545c-i,2546a
TITLE: The oxidative addition of mercaptans to olefins in the presence of halide
AUTHOR(S): Bredereck, Hellmut; Wagner, Adolf; Kottenhahn, Alfred
CORPORATE SOURCE: Tech. Hochschule, Stuttgart, Germany
SOURCE: Chem. Ber. (1960), 93, 2415-23
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

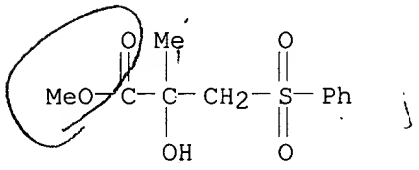
AB The prepn. of a series of .beta.-hydroxysulfoxides by oxidative addn. of mercaptans to olefins was described; the reaction was strongly catalyzed by chloride or bromide. The prepns. were performed by the method described previously (CA 54, 3290a). PhSH (1.17 g.) and 1.25 cc. styrene (I) in 10 cc. heptane at 30.degree. yielded 0.6 g. PhSOCH2CHPhOH (II), m. 131.5.degree. (C6H6). II treated with shaking with excess aq. KMnO4, treated with a few drops H2O2, dild. with H2O, and the product isolated with CHCl3 yielded 50% PhSO2CH2CHPhOH, m. 94-5.degree.. p-MeC6H4SH (223 mg.)and 0.22 cc. I in 10 cc. heptane at 30.degree. gave 342 mg. p-MeC6H4SOCH2CHPhOH, m. 110-11.degree. (C6H6-petr. ether). PhCH2SH (1.02 g.) and 4 cc. I in 10 cc. heptane at 30.degree. yielded 450 mg. PhCH2SOCH2CHPhOH, m. 168-9.degree. (C6H6). I (2.6 g.) and 2.27 g. Me3CSH

at 25.degree. gave similarly 2.03 g. crude product, which, fractionally crystd. from C6H6, gave 650 mg. Me3CSOCH2CHPhOH, needles, m. 137-8.degree., and 415 mg. low-melting modification, cubes, m. 112-12.5.degree.; both modifications oxidized with aq. KMnO4 gave Me3CSO2CH2CHPhOH, needles, m. 112.5-13.degree. (C6H6petr. ether). PhSH (11 g.) and 10 g. CH2:CMeCO2Me in 50 cc. heptane yielded PhSOCH2CMe(OH)CO2Me, m. 90-1.degree. (C6H6); sulfone analog (II) m. 68-9.5.degree. (C6H6-petr. ether). PhSCH2CMe(OH)CO2H (2.5 g.) with CH2N2-Et2O yielded the Me ester, b0.03 102-3.degree., which, oxidized with aq. KMnO4, yielded II, m. 68-9.5.degree.. p-MeC6H4SH (12.4 g.) and 10 g. CH2: CMeCO2Me in 50 cc. heptane gave 24.3 g. p-MeC6H4SOCH2CMe(OH)CO2Me (III), m. 58-60.degree. (Et2O-petr. ether). III (5.4 g.) oxidized with KMnO4 yielded 4.7 g. sulfone analog, m. 80-1.degree. (abs. EtOH). p-MeC6H6SH (1.06 g.) in 30 cc. MeCH: CHCO2Me at 35.degree. gave 0.5 g. crude material; 3.3 g. crude product fractionally recrystd. from C6H6 yielded 1.4 g. p-MeC6H4SOCHMeCH(OH)CO2Me (IV), m. 134-5.degree., and 0.8 g. low-melting modification, needles, m. 123-4.degree. (C6H6petr. ether). IV, m. 124.degree., (256 mg.) in 3 cc. glacial AcOH oxidized with 108 mg. KMnO4 yielded 210 mg. sulfonyl analog of IV, needles, m. 79.5-80.5.degree. (EtOH), which was also obtained from IV, m. 135.degree.. p-MeC6H4SH (228 mg.) and 0.4 cc. CH2: CMeCN in 10 cc. heptane at 35.degree. yielded 325 mg. p-MeC6H4SOCH2C(OH)(CN)Me (V), m. 119-21.degree. (pptd. from C6H6 with petr. ether). V (1.22 g.), 1.3 cc. 30% H2O2, and 10 cc. glacial AcOH kept 4 days at room temp. gave 1.2 g. sulfonyl analog of V, m. 109-12.degree. (C6H6-AcOH). V (1.42 g.), 1.5 cc. 30% H2O2, and 10 cc. glacial AcOH heated 3 hrs. at 100.degree. gave 370 mg. p-MeC6H4SO2CH2Ac (VI), m. 50-1.degree. (Et2O-petr. ether); the Et2O-insol. residue recrystd. from EtOH gave 270 mg. p-MeC6H4SO2CH2C (OH)(CONH2)Me, m. 175-5.5.degree., and about 0.3 g. p-MeC6H4SO3NH4, m. 330.degree. (decompn.). V (2.9 g.) oxidized with 1.4 g. KMnO4 in glacial AcOH yielded 1.55 g. VI, m. 51-2.degree. (Et2O-petr. ether). p-MeC6H4SH (1.53 g.) and 3 cc. CH2:CHCO2Me in 30 cc. heptane at 35.degree. yielded 1.03 g. (crude) p-MeC6H4SOCH2CH(OH)CO2Me, m. 106-7.degree. (C6H6), and a 2nd modification, needles, m. 80-1.degree. (C6H6-petr. ether); both modifications oxidized with 30% H2O2 gave 95% of the same sulfone analog, needles, m. 88-8.5.degree. (EtOH). PhSH (537 mg.) in 100 cc. cyclohexene at 25.degree. gave 100 mg. 2-phenylsulfinylcyclohexanol, m. 156-6.5.degree. (C6H6); sulfone analog m. 110-10.5.degree. (C6H6-petr. ether). PhSH (284 mg.) in 5 cc. CH2:CHCN at 30.degree. gave 253 mg. PhSOCH2CH(OH) CN, m. 108-10.degree.; sulfone analog, 85%, m. 107-8.degree. (C6H6).

IT **100059-74-3**, Lactic acid, 2-methyl-3-(phenylsulfonyl)-, methyl ester
(prepn. of)

RN 100059-74-3 CAPLUS

CN Lactic acid, 2-methyl-3-(phenylsulfonyl)-, methyl ester (6CI) (CA INDEX NAME)



L17 ANSWER 7 OF 7 USPATFULL

ACCESSION NUMBER: 2002:209710 USPATFULL

TITLE: .alpha.-hydroxy, -amino, and halo derivatives of .beta.-sulfonyl hydroxamic acids as matrix metallopropteinases inhibitors

INVENTOR(S): Warpehoski, Martha A., 7600 Curry La., Portage, MI, United States 49024

Searched by Barb O'Bryen, STIC 308-4291

Mitchell, Mark Allen, 1628 Dover Rd., Kalamazoo, MI, United States 49008
Harper, Donald E., 11520 Channel Dr., Plainwell, MI, United States 49080
Maggiora, Linda Louise, 4400 Glenrose Ter., Kalamazoo, MI, United States 49008

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6437177	B1	20020820
APPLICATION INFO.:	US 1998-196190		19981120 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-72655P	19971121 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: McKenzie, Thomas
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 13
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 1254

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a compound of formula I ##STR1##

or pharmaceutical acceptable salts thereof wherein R.sub.1 is C.sub.4-12 alkyl, C.sub.4-12 alkenyl, C.sub.4-12 alkynyl, --(CH.sub.2).sub.h--C.sub.3-8 cycloalkyl, substituted and unsubstituted --(CH.sub.2).sub.h-aryl, substituted and unsubstituted --(CH.sub.2).sub.h-het, R.sub.2 is substituted and unsubstituted C.sub.1-12 alkyl, substituted and unsubstituted C.sub.2-12 alkenyl, substituted and unsubstituted C.sub.2-12 alkynyl, substituted and unsubstituted --(CH.sub.2).sub.h--C.sub.3-8 cycloalkyl, substituted and unsubstituted --(CH.sub.2).sub.h--C.sub.3-8 cycloalkenyl, substituted and unsubstituted --(CH.sub.2).sub.h-aryl, substituted and unsubstituted --(CH.sub.2).sub.h-heterocyclic ring, substituted and unsubstituted --(CH.sub.2).sub.i--X--R.sub.4 (X is --O--, --S(.dbd.O).sub.j--, --NR.sub.7--, --S(.dbd.O).sub.2NR.sub.8--, or --C(.dbd.O)--), and --(CH.sub.2).sub.iCHR.sub.5R.sub.6.

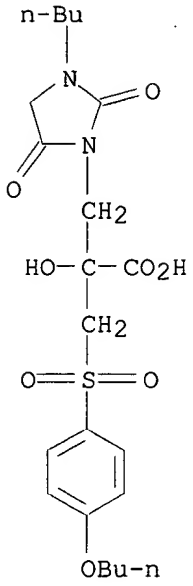
The compounds are inhibitors of matrix metalloproteinases involved in tissue degradation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

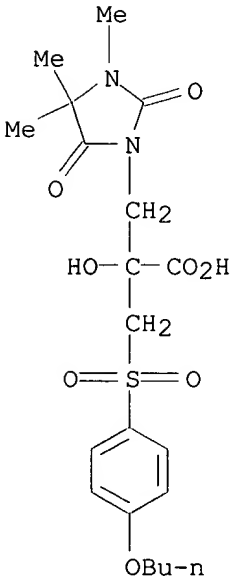
IT **226419-90-5P 226419-91-6P 226419-92-7P**
226419-93-8P 226419-94-9P 226419-95-0P
226419-96-1P 226419-97-2P 226419-98-3P
226419-99-4P 226420-00-4P 226420-01-5P
226420-02-6P 226420-03-7P 226420-04-8P
226420-05-9P 226420-06-0P 226420-07-1P
226420-08-2P 226420-09-3P 226420-10-6P
226420-11-7P 226420-12-8P 226420-13-9P
(prepn. of .alpha.-hydroxy, -amino, and halo derivs. of .beta.-sulfonyl hydroxamic acids as matrix metalloproteinases inhibitors)

RN 226419-90-5 USPATFULL

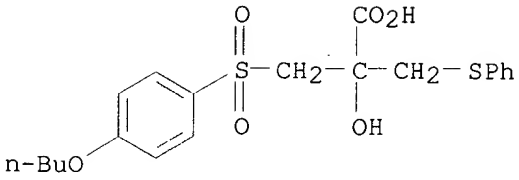
CN 1-Imidazolidinepropanoic acid, .alpha.-[[(4-butoxyphenyl)sulfonyl]methyl]-3-butyl-.alpha.-hydroxy-2,5-dioxo- (9CI) (CA INDEX NAME)



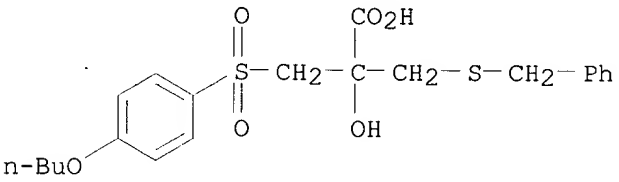
RN 226419-91-6 USPATFULL
CN 1-Imidazolidinepropanoic acid, .alpha.-[[(4-butoxyphenyl)sulfonyl]methyl]-.alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo- (9CI) (CA INDEX NAME)



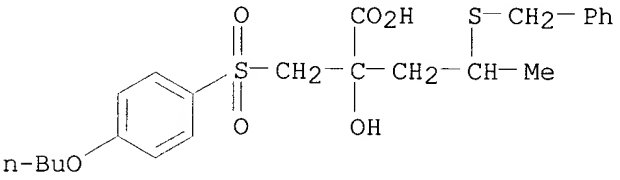
RN 226419-92-7 USPATFULL
CN Propanoic acid, 3-[(4-butoxyphenyl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]- (9CI) (CA INDEX NAME)



RN 226419-93-8 USPATFULL
CN Propanoic acid, 3-[(4-butoxyphenyl)sulfonyl]-2-hydroxy-2-[[(phenylmethyl)thio]methyl]- (9CI) (CA INDEX NAME)

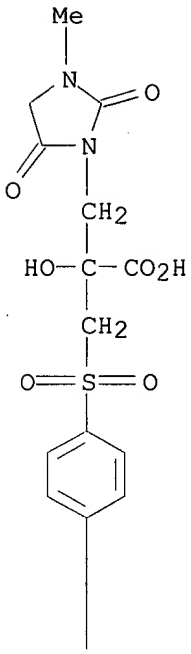


RN 226419-94-9 USPATFULL
CN Pentonic acid, 2-C-[[(4-butoxyphenyl)sulfonyl]methyl]-3,5-dideoxy-4-S-(phenylmethyl)-4-thio- (9CI) (CA INDEX NAME)

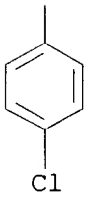


RN 226419-95-0 USPATFULL
CN 1-Imidazolidinepropanoic acid, .alpha.-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]methyl]-.alpha.-hydroxy-3-methyl-2,5-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A

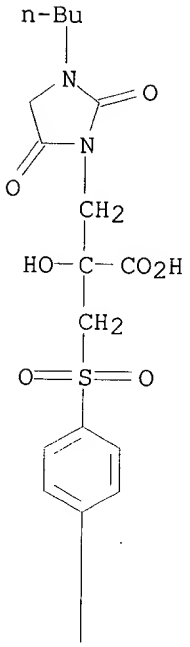


PAGE 2-A

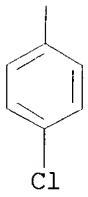


RN 226419-96-1 USPATFULL
CN 1-Imidazolidinepropanoic acid, 3-butyl-.alpha.-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]methyl]-.alpha.-hydroxy-2,5-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A

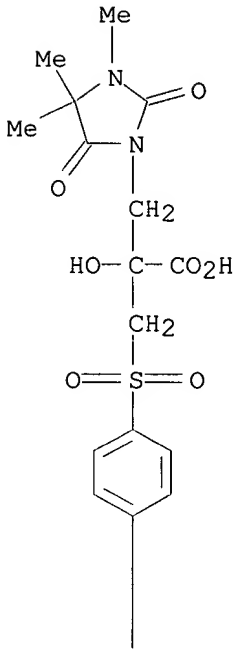


PAGE 2-A

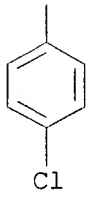


RN 226419-97-2 USPATFULL
CN 1-Imidazolidinepropanoic acid, .alpha.-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]methyl]-.alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo- (9CI) (CA INDEX NAME)

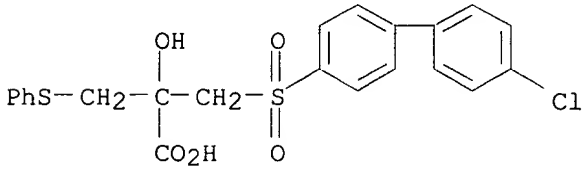
PAGE 1-A



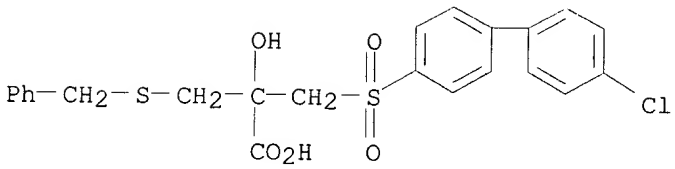
PAGE 2-A



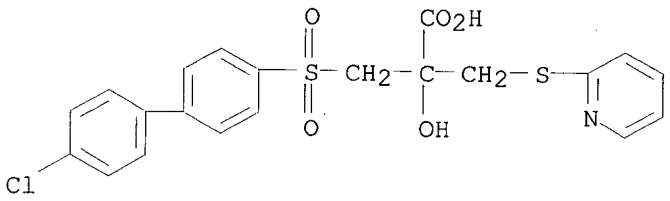
RN 226419-98-3 USPATFULL
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(phenylthio)methyl]- (9CI) (CA INDEX NAME)



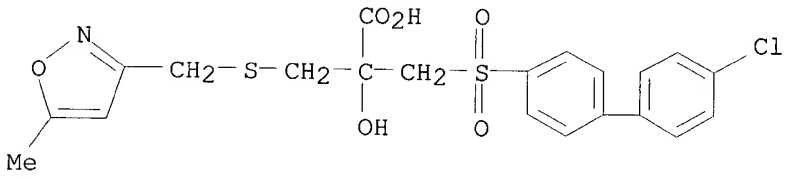
RN 226419-99-4 USPATFULL
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[[(phenylmethyl)thio]methyl]- (9CI) (CA INDEX NAME)



RN 226420-00-4 USPATFULL
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[(2-pyridinylthio)methyl]- (9CI) (CA INDEX NAME)



RN 226420-01-5 USPATFULL
CN Propanoic acid, 3-[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]-2-hydroxy-2-[[[(5-methyl-3-isoxazolyl)methyl]thio]methyl]- (9CI) (CA INDEX NAME)



RN 226420-02-6 USPATFULL
CN Pentonic acid, 2-C-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]methyl]-3,4,5-trideoxy-4-(3-methyl-2,5-dioxo-1-imidazolidinyl)- (9CI) (CA INDEX NAME)

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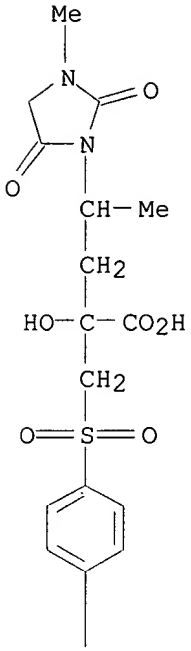

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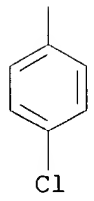
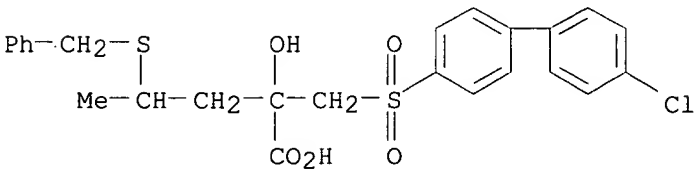
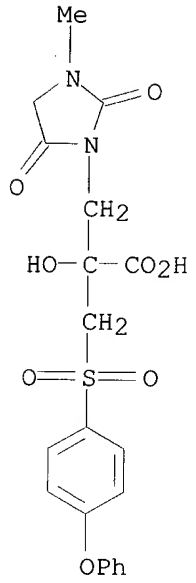


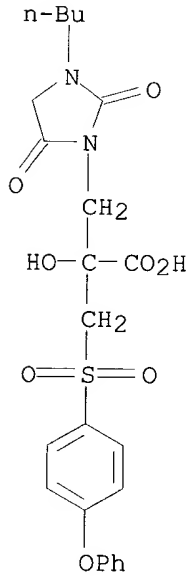
RN 226420-03-7 USPATFULL
CN Pentonic acid, 2-C-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]methyl]-3,5-dideoxy-4-S-(phenylmethyl)-4-thio- (9CI) (CA INDEX NAME)



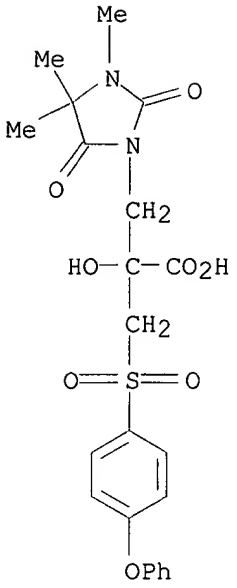
RN 226420-04-8 USPATFULL
CN 1-Imidazolidinepropanoic acid, .alpha.-hydroxy-3-methyl-2,5-dioxo-.alpha.-[[(4-phenoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



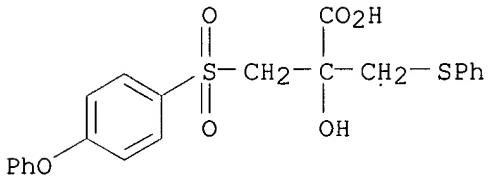
RN 226420-05-9 USPATFULL
CN 1-Imidazolidinepropanoic acid, 3-butyl-.alpha.-hydroxy-2,5-dioxo-.alpha.-[[(4-phenoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



RN 226420-06-0 USPATFULL
CN 1-Imidazolidinepropanoic acid, .alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo-.alpha.-[[(4-phenoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



RN 226420-07-1 USPATFULL
CN Propanoic acid, 2-hydroxy-2-[[(4-phenoxyphenyl)sulfonyl]methyl]-3-(phenylthio)- (9CI) (CA INDEX NAME)



RN 226420-08-2 USPATFULL
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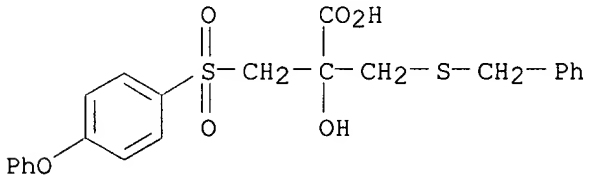
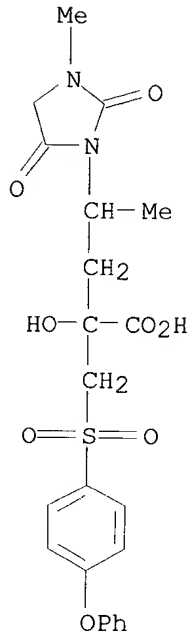
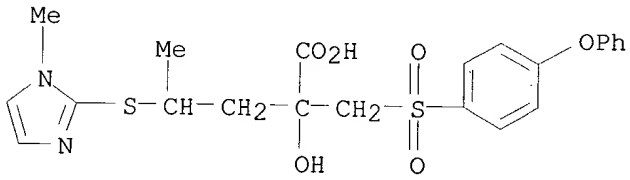


RN 226420-09-3 USPATFULL
CN Pentonic acid, 3,4,5-trideoxy-4-(3-methyl-2,5-dioxo-1-imidazolidinyl)-2-C-[[(4-phenoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



RN 226420-10-6 USPATFULL
CN Pentonic acid, 3,5-dideoxy-4-S-(1-methyl-1H-imidazol-2-yl)-2-C-[[(4-phenoxyphenyl)sulfonyl]methyl]-4-thio- (9CI) (CA INDEX NAME)



RN 226420-11-7 USPATFULL
CN 1-Imidazolidinepropanoic acid, .alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo-.alpha.-[[[4-(4-pyridinyl)phenyl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

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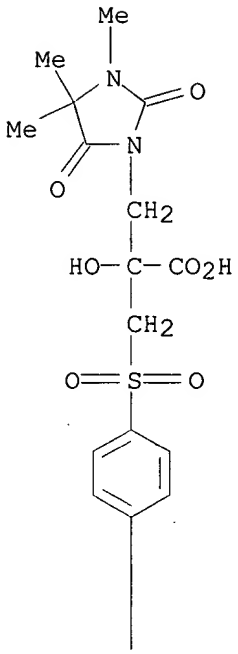

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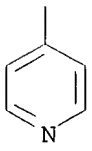
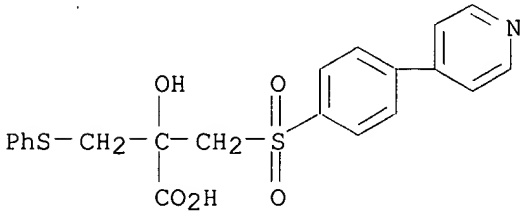
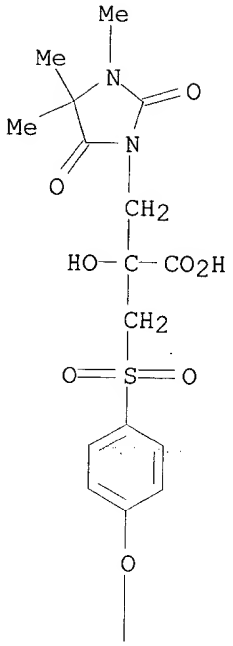


RN 226420-12-8 USPATFULL
CN Propanoic acid, 2-hydroxy-2-[(phenylthio)methyl]-3-[[4-(4-pyridinyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 226420-13-9 USPATFULL
CN 1-Imidazolidinepropanoic acid, .alpha.-hydroxy-3,4,4-trimethyl-2,5-dioxo-.alpha.-[[[4-(4-pyridinyloxy)phenyl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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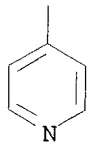
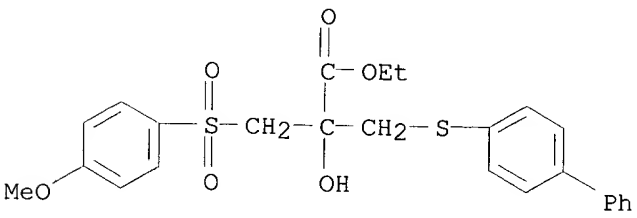


IT **226420-16-2P 226420-17-3P 226420-18-4P**
226420-20-8P 226420-21-9P 226420-22-0P
(prepn. of .alpha.-hydroxy, -amino, and halo derivs. of .beta.-sulfonyl hydroxamic acids as matrix metalloproteinases inhibitors)

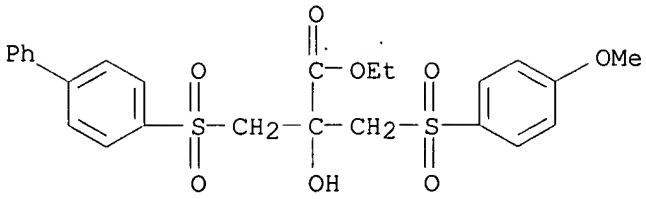
RN 226420-16-2 USPATFULL

CN Propanoic acid, 3-([1,1'-biphenyl]-4-ylthio)-2-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

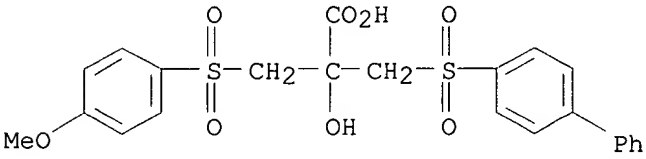


RN 226420-17-3 USPATFULL

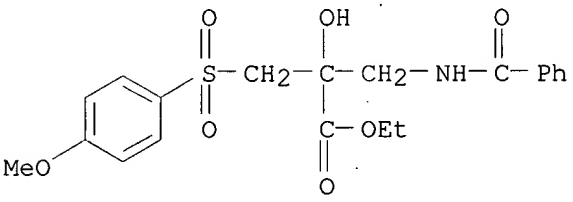
CN Propanoic acid, 3-([1,1'-biphenyl]-4-ylsulfonyl)-2-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



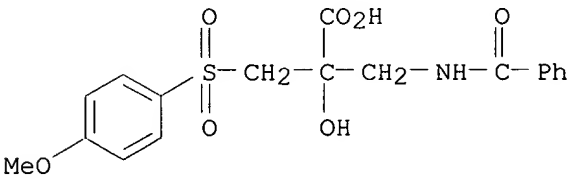
RN 226420-18-4 USPATFULL
CN Propanoic acid, 3-([1,1'-biphenyl]-4-ylsulfonyl)-2-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



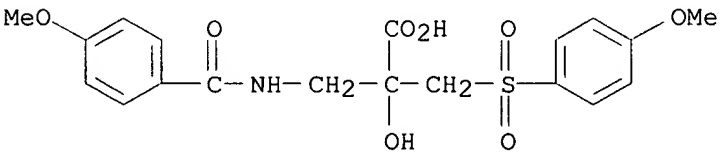
RN 226420-20-8 USPATFULL
CN Propanoic acid, 3-(benzoylamino)-2-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 226420-21-9 USPATFULL
CN Propanoic acid, 3-(benzoylamino)-2-hydroxy-2-[[(4-methoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



RN 226420-22-0 USPATFULL
CN Propanoic acid, 2-hydroxy-3-[(4-methoxybenzoyl)amino]-2-[[(4-methoxyphenyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



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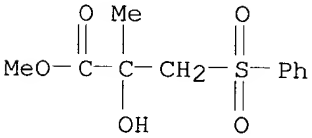
ACCESSION NUMBER: CA55:2545c CAOLD
TITLE: oxidative addn. of mercaptans to olefins in the presence of halide
AUTHOR NAME: Bredereck, Hellmut; Wagner, A.; Kottenhahn, A.
INDEX TERM: 5366-49-4 41103-87-1 41851-76-7 49639-26-1 51755-92-1 56706-30-0 59871-21-5 59871-23-7 64454-43-9 99855-90-0 99987-11-8 100059-58-3 **100059-74-3** 100059-75-4 100258-00-2 100258-15-9 100258-17-1 100520-93-2 100976-06-5
IT **100059-74-3**
RN 100059-74-3 CAOLD
CN Lactic acid, 2-methyl-3-(phenylsulfonyl)-, methyl ester (6CI) (CA INDEX NAME)



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study of the rates of isotope exchange of S in *m*- (I) and *p*-chlorobenzenesulfonic acid (II) with radioactive 79.5% H_2SO_4, the monomol. consts. of the rates of reactions of hydrolysis of both isomers were found for various temps. [the temp., strength of H_2SO_4 in the mixt. (%), no. of moles of H_2SO_4/mole of sulfonic acid, and *k* (in hr.$^{-1}$) given]. For I: 185.5, 78.9, 3.55, 0.0042 ± 0.0001; 201.0, 79.4, 3.64, 0.0186 ± 0.0002; 220.0, 79.4, 3.64, 0.81 ± 0.003. For II: 160.5, 78.3, 3.63, 0.044 ± 0.004; 170.0, 79.6, 3.50, 0.099 ± 0.002; 185.5, 79.0, 3.75, 0.324 ± 0.001; 185.5, 78.3, 3.63, 0.300 ± 0.005. The log of the mean values of the rate consts. depended linearly on the reciprocal of the temp. The mean values of the activation energy after the hydrolysis reactions of both isomers and the preëxponential members of the Arrhenius equation were given for I and II, resp.: E = 28 ± 2 and 31 ± 2 kcal./mole, log N (N in hr.$^{-1}$) = 16 ± 1 and 14 ± 1. A comparison of the results of the kinetic study of the hydrolysis and isomerization reactions of I and II proved the intermol. nature of the isomerization reaction. The rel. rates of sulfonation of PhCl at the *m*- and *p*-position were estd. quant. It was possible to calc. the rates of the isomerization reactions from the equil. const. between the isomers and from the rate consts. of the hydrolysis reactions. Jean Plamondon

The oxidative addition of mercaptans to olefins in the presence of halide. Hellmut Bredereck, Adolf Wagner, and Alfred Kottenhahn (Tech. Hochschule, Stuttgart, Ger.). *Chem. Ber.* **93**, 2415–23(1960).—The prepn. of a series of β-hydroxysulfoxides by oxidative addn. of mercaptans to olefins was described; the reaction was strongly catalyzed by chloride or bromide. The prepns. were performed by the method described previously (*CA* 54, 3290*a*). PhSH (1.17 g.) and 1.25 cc. styrene (I) in 10 cc. heptane at 30° yielded 0.6 g. $PhSOCH_2CHPhOH$ (II), m. 131.5° (C_6H_6). II treated with shaking with excess aq. $KMnO_4$, treated with a few drops H_2O_2, dild. with H_2O, and the product isolated with $CHCl_3$ yielded 50% $PhSO_2CH_2CHPhOH$, m. 94–5°. *p*-MeC_6H_4SH (223 mg.) and 0.22 cc. I in 10 cc. heptane at 30° gave 342 mg. *p*-$MeC_6H_4SOCH_2CHPhOH$, m. 110–11° (C_6H_6-petr. ether). $PhCH_2SH$ (1.02 g.) and 4 cc. I in 10 cc. heptane at 30° yielded 450 mg. $PhCH_2SOCH_2CHPhOH$, m. 168–9° (C_6H_6). I (2.6 g.) and 2.27 g. Me_3CSH at 25° gave similarly 2.03 g. crude product, which, fractionally crystd. from C_6H_6, gave 650 mg. $Me_3CSOCH_2CHPhOH$, needles, m. 137–8°, and 415 mg. low-melting modification, cubes, m. 112–12.5°; both modifications oxidized with aq. $KMnO_4$ gave $Me_3CSO_2CH_2CHPhOH$, needles, m. 112.5–13° (C_6H_6-petr. ether). PhSH (11 g.) and 10 g. CH_2:$CMeCO_2Me$ in 50 cc. heptane yielded $PhSOCH_2CMe(OH)CO_2Me$, m. 90–1° (C_6H_6); sulfone analog (II) m. 68–9.5° (C_6H_6-petr. ether). $PhSCH_2CMe(OH)CO_2H$ (2.5 g.) with CH_2N_2–Et_2O yielded the Me ester, $b_{0.03}$ 102–3°, which, oxidized with aq. $KMnO_4$, yielded II, m. 68–9.5°. *p*-MeC_6H_4SH (12.4 g.) and 10 g. CH_2:$CMeCO_2Me$ in 50 cc. heptane gave 24.3 g. *p*-$MeC_6H_4SOCH_2CMe(OH)CO_2Me$ (III), m. 58–60° (Et_2O-petr. ether). III (5.4 g.) oxidized with $KMnO_4$ yielded 4.7 g. sulfone analog, m. 80–1° (abs. EtOH). *p*-MeC_6H_4SH (1.06 g.) in 30 cc. MeCH:$CHCO_2Me$ at 35° gave 0.5 g. crude material; 3.3 g. crude product fractionally recrystd. from C_6H_6 yielded 1.4 g. *p*-$MeC_6H_4SOCHMeCH(OH)CO_2Me$ (IV), m. 134–5°, and 0.8 g. low-melting modification, needles, m. 123–4° (C_6H_6-petr. ether). IV, m. 124°, (256 mg.) in 3 cc. glacial AcOH oxidized with 108 mg. $KMnO_4$ yielded 210 mg. sulfonyl analog of IV, needles, m. 79.5–80.5° (EtOH), which was also obtained from IV, m. 135°. *p*-MeC_6H_4SH (228 mg.) and 0.4 cc. CH_2:$CMeCN$ in 10 cc. heptane at 35° yielded 325 mg. *p*-$MeC_6H_4SOCH_2C(OH)(CN)Me$ (V), m. 119–21° (pptd. from C_6H_6 with petr. ether). V (1.22 g.), 1.3 cc. 30% H_2O_2, and 10 cc. glacial AcOH kept 4 days at room temp. gave 1.2 g. sulfonyl analog of V, m. 109–12° (C_6H_6–AcOH). V (1.42 g.), 1.5 cc. 30% H_2O_2, and 10 cc. glacial AcOH heated 3 hrs. at 100° gave 370 mg. *p*-$MeC_6H_4SO_2CH_2Ac$ (VI), m. 50–1° (Et_2O-petr. ether); the Et_2O-insol. residue recrystd. from EtOH gave 270 mg. *p*-$MeC_6H_4SO_2CH_2C(OH)(CONH_2)Me$, m. 175–5.5°, and about 0.3 g. *p*-$MeC_6H_4SO_3NH_4$, m. 330° (decompn.). V (2.9 g.) oxidized with 1.4 g. $KMnO_4$ in glacial AcOH yielded 1.55 g. VI, m. 51–2° (Et_2O-petr. ether). *p*-MeC_6H_4SH (1.53 g.) and 3 cc. CH_2:$CHCO_2Me$ in 30 cc. heptane at 35° yielded 1.03 g. (crude) *p*-$MeC_6H_4SOCH_2CH(OH)CO_2Me$, m. 106–7° ($C_6H_6$), and a 2nd modification, needles, m. 80–1° (C_6H_6-petr. ether); both modifications oxidized with 30% H_2O_2 gave 95% of the same sulfone analog, needles, m. 88–8.5° (EtOH). PhSH (537 mg.) in 100 cc. cyclohexene at 25° gave 100 mg. 2-phenylsulfinylcyclohexanol, m. 156–6.5° (C_6H_6); sulfone analog m. 110–10.5° (C_6H_6-petr. ether). PhSH (284 mg.) in 5 cc. CH_2:$CHCN$ at 30° gave 253 mg. $PhSOCH_2CH(OH)CN$, m. 108–10°; sulfone analog, 85%, m. 107–8° (C_6H_6). F. W. Hoffmann

Synthetic antitubercular agents. I. Thiosemicarbazones of α-(*p*-formylphenylthio) acids and esters. R. Trave (Univ. Milan). *Farmco* (Pavia) *Ed. sci.* 15, 468–73 (1960).—Refluxing 10 g. *p*-acetylaminobenzaldehyde in 130 cc. H_2O with 5 g. NaOH in 20 cc. H_2O 30 min., extg. (after cooling and adding Na_2CO_3) the free aldehyde with Et_2O, dropping the Et_2O soln. simultaneously with 4.5 g. $NaNO_2$ into 300 g. ice and 20 cc. HCl, decanting the Et_2O layer, filtering the soln. of the diazo compd., removing excess HNO_2 with urea, dropping into a soln. of 10 g. K ethylxanthate and 3 g. K_2CO_3, filtering off the ppt. of diazonium ethylxanthate, keeping overnight (loss of N and formation of an oil), extg. with Et_2O, washing the ext. with an alk. soln. and H_2O, drying, and evapg. *in vacuo* gave *p*-formylphenyl xanthate. Refluxing it in dil. EtOH contg. 6.5 g. KOH 5–6 hrs., removing the EtOH *in vacuo*, dissolving in H_2O, filtering, and adding $K_3Fe(CN)_6$ pptd. *p,p'*-diformyldiphenyl disulfide, m. 108° (EtOH). Dissolving this sulfide at the b.p. in a concd. Na_2S soln. and cooling to 0° gave 50–5% Na salt of *p*-mercaptobenzaldehyde (I). Neutralizing 5 g. $ClCH_2CO_2H$ in 10 cc. H_2O exactly with Na_2CO_3, adding a few drops *N* NaOH and 6 g. I in H_2O, keeping the mixt. 1 hr. at 50–60°, cooling, adding H_2O if a ppt. formed, acidifying with HCl, filtering off the ppt., dissolving in Na_2CO_3 soln., pptg. with acid, and washing gave RSC_6H_6CHO[R = CH_2CO_2H (II)], m. 177°. Also prepd. by this method were acid with R = $CHMeCO_2H$ (III), m. 101°, $CH_2CH_2CO_2H$ (IV), m. 105°, $CHEtCO_2H$ (V), oily, CMe_2CO_2H (VI), oily, and $CH(CHMe_2)CO_2H$ (VII), oily. The 1st 3 acids were also obtained initially as oils. By repeating the extn. with Et_2O, dissolving in Na_2CO_3, and repptg. with acid they were purified. Refluxing 4 g. I in 50 cc. 50% EtOH with 3.3 g. $ClCH_2CO_2Et$ (for analogs Br compd. used) until the soln. showed neutral reaction, evapg. the EtOH, extg. with Et_2O, evapg., and distg. *in vacuo* gave analog with R = CH_2CO_2Et (VIII), b_1 146–9°. Also prepd. were esters with R = $CHMeCO_2Et$ (IX), b_1 135–8°, $CH_3CH_2CO_2Et$ (X), b_1 165–8°, $CHEtCO_2Et$ (XI), b_1 150–3°, CMe_2CO_2Et (XII), b_1 144–8°, $CH(CHMe_2)CO_2Et$ (XIII), b_1 170–2°. The thiosemicarbazones were prepd. by mixing at the b.p. the aldehyde acid in 20% AcOH with 10% semicarbazide soln. in slight excess, cooling, and crystg. from 40% EtOH or dil. AcOH. This gave semicarbazones with II, m. 205°, III, m. 197°, IV, m. 209°, V, m. 182°, VI, m. 219°, VII, m. 183°, VIII, m. 103°, IX, m. 147°, X, m. 121°, XI, m. 124°, XII, m. 107° and XIII, m. 94°. XI showed the highest general antibiotic activity at concns. of 20 γ/cc. against *Escherichia coli*, *Proteus vulgaris*, *Pseudomonas aeruginosa*, *Klebsiella pneumoniae*, against the fungi *Candida albicans* and *Trichophyton mentagrophytes* and against *Mycobacterium tuberculosis*. VIII and XII were more selectively active against the last organism at 5 γ/cc. and XIII at 2 γ/cc. II. **Thiosemicarbazones of α-(*p*-formylphenylsulfonyl) acids and esters.** *Ibid.* 474–82. —Heating an intimate mixt. of 122 g. *p*-sulfamidobenzoic acid and 257 g. PCl_5 at 110° until the mass lost 150 g. wt., then heating *in vacuo* in an oil bath at 250° while collecting the material distg., pouring the fused distillate into ice, keeping 5–6 hrs., filtering, and drying gave 60–5% *p*-cyanobenzenesulfonyl chloride, m. 111–12°. The corresponding *p*-cyanobenzenesulfinic acid was prepd. according to Fuller, *et al.* (*CA* 40, 1807°). $NCC_6H_4SO_2R$ were prepd. by refluxing the acid in a small amt. of H_2O with 1 mole halogen ester and EtOH 24 hrs. (β-bromopropionic ester required 40 hrs.), distg. the EtOH and unreacted ester, cooling, extg. with Et_2O, evapg., and crystg. from petr. ether or CCl_4 and finally from dil. AcOH. This gave the following esters: R = CH_2CO_2Et (I), m. 69°, $CHMeCO_2Et$ (II), m. 78°, $CH_3CH_2CO_2Et$ (III), m. 85°, $CHEtCO_2Et$ (IV), m. 66°, CMe_2CO_2Et (V), m. 76°. Treating 7.5 g. anhyd. $SnCl_2$ in 45–50 cc. Et_2O 3 hrs. with HCl gas, adding 6.5 g. I ester, stirring 4–5 hrs. with HCl passing slowly through the mixt., keeping overnight, decanting the upper layers of Et_2O–$CHCl_3$ from the solid (in case of analogs it was an oil), removing the HCl by keeping *in vacuo*, dissolving in H_2O, heating 15–20 min. at 25–35°, cooling, extg. with Et_2O, drying with $CaCl_2$, distg. the solvent, and crystg. from petr. ether or CCl_4 gave $OHCC_6H_4SO_2R$ (R = I), m. 54° (dil.